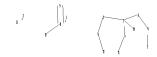
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T.1
               STRUCTURE UPLOADED
L2
             0 S L1
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L3
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L4
            19 S L3
             10 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)
L5
    FILE 'REGISTRY' ENTERED AT 15:17:17 ON 21 NOV 2008
               STRUCTURE UPLOADED
1.6
L7
              0 S L6
L8
               STRUCTURE UPLOADED
L9
             0 S L8
L10
             13 S L8 SSS FULL
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             0 S L10/THU
T.11
L12
             4 S L10
     FILE 'REGISTRY' ENTERED AT 17:10:58 ON 21 NOV 2008
L13
              STRUCTURE UPLOADED
            50 S L13
L14
L15
         16599 S L13 SSS FULL
    FILE 'HCAPLUS' ENTERED AT 17:11:49 ON 21 NOV 2008
          6621 S L15/THU
L16
         259600 S NEOINTIM? OR ATHEROSCLEROSIS OR ARTERY OR ARTERIAL
L17
L18
           205 S L16 AND L17
L19
            127 S L18 AND (PY<2003 OR AY<2003 OR PRY<2003)
    FILE 'STNGUIDE' ENTERED AT 17:12:49 ON 21 NOV 2008
     FILE 'REGISTRY' ENTERED AT 17:14:14 ON 21 NOV 2008
L20
               STRUCTURE UPLOADED
L21
             0 S L1 SUB=L15 FULL
           213 S L20 SUB=L15 FULL
L22
    FILE 'HCAPLUS' ENTERED AT 17:15:08 ON 21 NOV 2008
L23
           124 S L22
L24
            205 S L16 AND L17
L25
             1 S L23 AND L17
L26
            21 S L22/THU
L27
            19 S L26 AND (PY<2003 OR AY<2003 OR PRY<2003)
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               STRUCTURE UPLOADED
L28
           115 S L28 SUB=L15 FULL
L29
     FILE 'HCAPLUS' ENTERED AT 17:30:04 ON 21 NOV 2008
L30
            256 S L29
L31
             0 S L17 AND L30
L32
         209639 S HYPERCHOLESTEROLEM? OR HYPERLIPIDEM? OR DYSLIPIDEM? OR CHOLES
L33
             4 S L30 AND L32
     FILE 'STNGUIDE' ENTERED AT 17:31:09 ON 21 NOV 2008
    FILE 'REGISTRY' ENTERED AT 17:31:42 ON 21 NOV 2008
L34
               STRUCTURE UPLOADED
L35
             5 S L34
L36
            95 S L34 SUB=L15 FULL
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L37 L38 L39 L40	
L41 L42 L43 L44 L45 L46	FILE 'REGISTRY' ENTERED AT 17:38:05 ON 21 NOV 2008 STRUCTURE UPLOADED 0 S L41 0 S L41 SSS FULL STRUCTURE UPLOADED 13 S L44 1484 S L44 SSS FULL
L47 L48 L49	FILE 'HCAPLUS' ENTERED AT 17:42:20 ON 21 NOV 2008 95 S L46/THU 428791 S CHOLESTEROL OR HYPERLIPIDEM? OR ATHEROSCLEROSIS OR NEOINTIM? 7 S L47 AND L48
L1 L2	FILE 'REGISTRY' ENTERED AT 12:21:21 ON 24 NOV 2008 STRUCTURE UPLOADED 2 S L1 EXP SERINE PHOSPHORIC ACID/CN EXP SERINE PHOSPH/CN EXP SERINE PHOSPHATE/CN 1 S E5
L4 L5	FILE 'HCAPLUS' ENTERED AT 12:35:58 ON 24 NOV 2008 60 S L3/THU 31 S L4 AND (PY<2003 OR AY<2003 OR PRY<2003)





```
chain nodes:
1 2 3 4 5 6 7 8 9 10 11 16 17 19
chain bonds:
1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 8-9 8-10
exact/norm bonds:
1-5 4-7 5-16 6-17 8-9 8-10
exact bonds:
1-2 1-3 1-19 2-6 3-4
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G1:P,[*1],[*2]

Connectivity:
10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain
Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS

=> s 113

SAMPLE SEARCH INITIATED 17:11:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2199 TO ITERATE

50 ANSWERS

91.0% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 41167 TO 46793

PROJECTED ANSWERS: 14874 TO 18330

L14 50 SEA SSS SAM L13

=> d 114 scan

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C57 H112 N O13 P

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Poly(oxy-1,2-ethanediy1), $\alpha-[[[2-[[4-[4-[[(1S)-1-carboxy-2-[[[1,4-dihydro-7-[(1H-imidazol-2-ylamino)methy1]-1-methy1-4-oxo-3-quinoliny1]carbony1]amino]ethy1]amino]sulfony1]-3,5-dimethy1phenoxy]-1-oxobuty1]amino]ethy1]amino]carbony1]-<math>\omega-[[(9R)-6-hydroxy-6-oxido-1,12-dioxo-9-[(1-oxooctadecy1)oxy]-5,7,11-trioxa-2-aza-6-phosphanonacos-1-y1]oxy]-, sodium salt (1:1)
MF (C2 H4 O)n C75 H120 N9 O19 P S . Na$

CI PMS

Na

PAGE 1-B

PAGE 1-C

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN β -D-Glucopyranose, 1-[(2R)-2-[[(5Z,8Z,11Z,14Z)-1-oxo-5,8,11,14-eicosatetraenyl]oxy]-3-[(1-oxooctadecyl)oxy]propyl hydrogen phosphate], compd. with N,N-diethylethanamine (1:1)

MF C47 H83 O13 P . C6 H15 N

CM 1

Absolute stereochemistry. Double bond geometry as shown.

Me (CH₂)
$$_{16}$$
 O R
Me (CH₂) $_{16}$ O R
Me (CH₂) $_{16}$ O O

PAGE 1-B

CM 2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L14 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN INDEX NAME NOT YET ASSIGNED MF C30 H61 N O8 P

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 113 sss full

FULL SEARCH INITIATED 17:11:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 44020 TO ITERATE

100.0% PROCESSED 44020 ITERATIONS 16599 ANSWERS

SEARCH TIME: 00.00.01

L15 16599 SEA SSS FUL L13

=> file hcaplus

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

0.00

-11.20

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 115/thu

35966 L15

1070979 THU/RL

L16 6621 L15/THU

(L15 (L) THU/RL)

=> s neointim? or atherosclerosis or artery or arterial

3676 NEOINTIM?

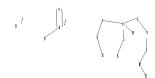
63834 ATHEROSCLEROSIS

151893 ARTERY

100583 ARTERIAL

L17 259600 NEOINTIM? OR ATHEROSCLEROSIS OR ARTERY OR ARTERIAL





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1  2  3  4  5  6  7  8  9  10  11  16  17  19  20  21
chain bonds :
1-2  1-3  1-5  1-19  2-6  3-4  4-7  5-16  6-17  7-20  8-9  8-10  20-21
exact/norm bonds :
1-5  4-7  5-16  6-17  7-20  8-9  8-10  20-21
exact bonds :
1-2  1-3  1-19  2-6  3-4
```

G1:P,[*1],[*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS

L20 STRUCTURE UPLOADED

=> s 11 sub=115

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full FULL SUBSET SEARCH INITIATED 17:14:35 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L21 0 SEA SUB=L15 SSS FUL L1

=> s 120 sub=115

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100.0% PROCESSED 226 ITERATIONS 213 ANSWERS

SEARCH TIME: 00.00.01

L22 213 SEA SUB=L15 SSS FUL L20

=> d 122 scan

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Diphosphoric acid, mono[2,3-bis(acetyloxy)propyl] ester (9CI)
MF C7 H14 O11 P2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Hexadecanoic acid, 5,7-dioxido-4,6,8-trioxa-5,7-diphosphaundecane1,2,10,11-tetrayl ester (9CI)

MF C70 H136 O15 P2

PAGE 1-B

- (CH₂)₁₄-Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L22 213 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 9-Octadecenoic acid (9Z)-, (1R)-1-(3,5,5-trihydroxy-3,5-dioxido-2,4-dioxa-

3,5-diphosphapent-1-yl)-1,2-ethanediyl ester, diammonium salt MF C39 H74 O11 P2 . 2 H3 N

Absolute stereochemistry. Double bond geometry as shown.

●2 NH3

PAGE 1-B

__ Me

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):file hcaplus 'FILE HCAPLUS' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "0", or "END". HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 122 L23 124 L22

=> s 116 and 117 L24 205 L16 AND L17

=> d 125 ti abs bib

L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Subtype-Selective Antagonists of Lysophosphatidic Acid Receptors Inhibit Platelet Activation Triggered by the Lipid Core of Atherosclerotic Plaques

- Lysophosphatidic acid (LPA) is a platelet-activating component of mildly AB oxidized LDL (mox-LDL) and lipids isolated from human atherosclerotic plaques. Specific antagonists of platelet LPA receptors could be useful inhibitors of thrombus formation in patients with cardiovascular disease. Short-chain analogs of phosphatidic acid (PA) were examined for their effect on two initial platelet responses, platelet shape change and Ca2+ mobilization. Dioctylqlycerol pyrophosphate [DGPP(8:0)] and dioctylphosphatidic acid [PA(8:0)], recently described selective antagonists of the LPA1 and LPA3 receptors, inhibited platelet activation evoked by LPA but not by other platelet stimuli. DGPP(8:0) was more potent than PA(8:0). DGPP(8:0) also inhibited platelet shape change induced by mox-LDL and lipid exts. from human atherosclerotic plaques. Notably, we demonstrate for the first time that the lipid-rich core isolated from soft plaques was able to directly induce shape change. effect was completely abrogated by prior incubation of platelets with DGPP(8:0). Moreover, coapplication of the lipid-rich core or LPA together with subthreshold concns. of ADP or epinephrine synergistically induced platelet aggregation; this effect was inhibited by DGPP(8:0). Anal. by liquid chromatog.-mass spectrometry revealed the presence of LPA alkyl- and acyl-mol. species with high platelet-activating potency (16:0-alkyl-LPA, 20:4-acyl-LPA). LPA mols. present in the core region of atherosclerotic plaques trigger rapid platelet activation through the stimulation of LPA1 and LPA3 receptors. Antagonists of platelet LPA receptors might provide a new strategy to prevent thrombus formation in patients with cardiovascular diseases.
- AN 2003:601141 HCAPLUS <<LOGINID::20081121>>
- DN 140:281040
- TI Subtype-Selective Antagonists of Lysophosphatidic Acid Receptors Inhibit Platelet Activation Triggered by the Lipid Core of Atherosclerotic Plaques
- AU Rother, Enno; Brandl, Richard; Baker, Daniel L.; Goyal, Pankaj; Gebhard,

```
Harry; Tigyi, Gabor; Siess, Wolfgang
     Medical Faculty, Institute for Prevention of Cardiovascular Diseases,
CS
     University of Munich, Munich, Germany
     Circulation (2003), 108(6), 741-747
SO
     CODEN: CIRCAZ; ISSN: 0009-7322
PB
     Lippincott Williams & Wilkins
DT
     Journal
LA
     English
RE.CNT 34
              THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
\Rightarrow s 122/thu
           124 L22
       1070979 THU/RL
L26
            21 L22/THU
                 (L22 (L) THU/RL)
=> s 126 and (PY<2003 or AY<2003 or PRY<2003)
      22961893 PY<2003
       4500185 AY<2003
       3968543 PRY<2003
            19 L26 AND (PY<2003 OR AY<2003 OR PRY<2003)
L27
=> d 127 1-19 ti abs bib hitstr
L27 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
ΤI
     Acyclovir derivatives for topical use
AΒ
     The invention involves compns. for topical use in herpes virus infections
     comprising anti-herpes nucleoside analog phosphate esters, such as
     acyclovir monophosphate, acyclovir diphosphate, and acyclovir
     triphosphate, which show increased activity against native strains of
     herpes virus as well as against resistant strains, particularly thymidine
     kinase neg. strains of virus. Anti-herpes nucleoside analogs phosphate
     esters include the phosphoramidates and phosphothiorates, as well as
     polyphosphates comprising C and S bridging atoms.
ΑN
     1997:121416 HCAPLUS <<LOGINID::20081121>>
     126:135594
OREF 126:26139a, 26142a
     Acyclovir derivatives for topical use
IN
     Hostetler, Karl Y.
PΑ
     Hostetler, Karl Y., USA
SO
     PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     English
FAN.CNT 5
     PATENT NO.
                        KIND
                                             APPLICATION NO.
                                                                 DATE
                                 DATE
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     WO 9640088
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             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
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                                                                     19950607 <--
     AU 9663842
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                                             AU 1996-63842
                                                                     19960606 <--
                                            EP 1996-923289
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                                 19980401
                                                                     19960606 <--
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
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PRAI	US 1995-480456	A	19950607	<		
	US 1991-777683	B2	19911015	<		
	US 1993-60258	A2	19930512	<		
	WO 1996-US10085	W	19960606	<		
ΙT	139701-83-0					
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	study, unclassified)	; RCT	(Reactant)	; THU	(Therapeutic use);	
	BIOL (Biological stu	dy); R.	ACT (Reacta	ant or	r reagent); USES (Us	es)
	(acyclovir derivs	. for	topical use	e aga:	inst herpes virus in	fections)
RN	139701-83-0 HCAPLUS					
CN	Hexadecanoic acid, 1	-[10-(2-amino-1,	6-dih	ydro-6-oxo-9H-purin-	9-y1)-3,5-
	dihydroxy-3,5-dioxid	0-2,4,	6 , 9-tetrao:	xa-3,	5-diphosphadec-1-yl]	-1,2-
	ethanediyl ester, (R)- (9C	I) (CA IN	DEX N	AME)	

Absolute stereochemistry.

PAGE 1-B

L27 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Synthesis and antiproliferative activity of
 cytidine-5'-alkylphosphonophosphates and structurally related compounds

AB The chemical synthesis of cytidine-5'-alkyl- and
 cytidine-5'-alkyl(acyl)deoxyglycerophosphonophosphates is reported. The
 compds. obtained represent a novel class of cytostatically active agents
 based on phospholipids, which inhibit the growth of various tumor cell
 lines in vitro. They are phosphono analogs of the
 cytidine-5'-diphosphate-diacylglycerol (CDP-DAG) possessing a structurally
 modified lipid moiety and a phospholipase C-resistant P-C bond. The
 antiproliferative efficacy of the cytidine-5'-alkylphosphonophosphates

strongly depends on the alkyl chain length. The cytidine-5'-hexadecylphosphonophosphate was the most effective compound tested in this study. Its cytostatic effect was distinctly higher than that of the alkyl(acyl)deoxyglycero derivs. and of the corresponding diphosphates. The structures of the new compds. were confirmed by fast atom bombardment mass spectrometry (FAB).

AN 1996:566510 HCAPLUS <<LOGINID::20081121>>

DN 125:292238

OREF 125:54355a,54358a

TI Synthesis and antiproliferative activity of cytidine-5'-alkylphosphonophosphates and structurally related compounds

AU Brachwitz, H.; Lachmann, U.; Thomas, Y.; Bergmann, J.; Berdel, W. E.; Langen, P.

CS Freie Universitaet Berlin, Universitaetsklinikum Benjamin Franklin, Abt. Haematologie and Onkologie, Berlin, Germany

SO Chemistry and Physics of Lipids (1996), 83(1), 77-85 CODEN: CPLIA4; ISSN: 0009-3084

PB Elsevier

DT Journal

LA English

IT 3152-52-1 182919-93-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and structure activity of cytidine hexadecylphosphonophosphates as antitumor agents)

RN 3152-52-1 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate), P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 182919-93-3 HCAPLUS

CN Cytidine 5'-(trihydrogen diphosphate),

P'-[3-(octadecyloxy)-2-[(1-oxooctadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
L27 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
```

TI Ether lipid-nucleoside covalent conjugates

AB Conjugates of ether lipids and antiviral nucleoside analogs are disclosed, along with pharmaceutical compns. containing the same and methods of using the same to combat HIV-1 infections. Illustrative are

3'-azido-3'-deoxythymidine-5'-monophosphatoxypropane and

 $3'-azido-3'-deoxythymidine-5'-butyrate-\gamma-N,N,N-trimethyammonium-$

 $\beta\text{-}(1\text{-phospho-}2\text{-ethoxy-}3\text{-hexadecyloxypropane})$.

AN 1996:332929 HCAPLUS <<LOGINID::20081121>>

DN 125:96065

OREF 125:17899a,17902a

TI Ether lipid-nucleoside covalent conjugates

IN Piantadosi, Claude; Marasco, Canio J., Jr.; Kucera, Louis S.

PA Wake Forest University, USA; University of North Carolina

SO U.S., 11 pp., Cont. of U. S. Ser. No. 955, 709, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

T T TIA .	CIVI I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 5512671	A	19960430	US 1995-418853	19950407 <		
PRAI	US 1995-418853	B1	19950407	<			
	US 1993-955709		19930216	<			
OS	MARPAT 125:96065						
ΙT	178394-14-4P						

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phospholipid-nucleoside conjugates as virucides for treatment of HIV-1 infections)

RN 178394-14-4 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2-ethoxy-3-(hexadecyloxy)propyl] ester (9CI) (CA INDEX NAME)

L27 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

 ${\tt TI}$ Nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents

GΙ

AB A compound which exhibits anti-HIV activity has the formula I wherein: R1 is selected from the group consisting of alkyls and alkenyls containing from 8 to 22 carbon atoms; A is selected from the group consisting of O and S atoms; R2 is selected from the group consisting of alkyls, hetero atom containing alkyls, and alkenyls containing from 8 to 22 carbon atoms; and the nucleoside is selected from the group consisting of 2',3'-dideoxynucleosides, 3'-azido-2',3'-dideoxynucleosides, and 2',3'-dideoxynucleosides, and 2',3'-didehydro-2',3'-dideoxynucleosides. Thus, e.g., condensation of AZT monophosphate morpholidate with rac-1-S-octadecyl-2-O-palmitoyl-1-thiogylcerol 3-phosphate afforded 3'-azido-3'-deoxythymidine-5'-diphosphate-rac-1-S-octadecyl-O-palmitoyl-1-thioglycerol Na salt (II.2Na, 27%) which protected 80% of HIV-infected CEM cells at as low as 5.80 + 10-7 M. Micelle formulations were given.

Ι

AN 1996:106711 HCAPLUS <<LOGINID::20081121>>

DN 124:290190

OREF 124:53835a,53838a

- ${\tt TI}$ Nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents
- IN Hong, Chung I.; West, Charles R.; Chu, Chung K.
- PA Health Research, Inc., USA; University of Georgia Research Foundation, Inc.
- SO U.S., 16 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	US 5484911	A	19960116	US 1993-41725	19930401 <	
PRAI	US 1993-41725		19930401	<		

OS MARPAT 124:290190

IT 175459-10-6P 175459-12-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nucleoside 5'-diphosphate conjugates of ether and thioether lipids as anti-HIV agents)

RN 175459-10-6 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2-[(1-oxohexadecyl)oxy]-3-(tetradecyloxy)propyl] ester, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 Na

RN 175459-12-8 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2-[(1-oxohexadecyl)oxy]-3-(tetradecyloxy)propyl] ester (9CI) (CA INDEX NAME)

- L27 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Antiviral effect in human cytomegalovirus-infected cells, pharmacokinetics, and intravitreal toxicology in rabbits of acyclovir diphosphate dimyristoylglycerol
- AB Acyclovir diphosphate dimyristoylglycerol (ACVDP-DG) is a lipid prodrug which is active against acyclovir (ACV)-resistant strains of herpes simplex virus because of its intracellular metabolism to ACV monophosphate. In human cytomegalovirus (HCMV)-infected MRC-5 cells, ACVDP-DG was 9-fold more active than ACV. When liposomal [8-3H]ACVDP-DG was injected intravitreally at the maximum nontoxic dose of 1 μ mol in rabbits, the drug remained above its estimated 90% HCMV-inhibitory concentration for 18 days. Intravitreal ganciclovir persists above its 90% inhibitory concentration for

only

- 1 to 2 days. ACVDP-DG may be useful as a local treatment for $\ensuremath{\mathsf{HCMV}}$ retinitis.
- AN 1995:597495 HCAPLUS <<LOGINID::20081121>>

DN 123:74293

OREF 123:12914h, 12915a

- TI Antiviral effect in human cytomegalovirus-infected cells, pharmacokinetics, and intravitreal toxicology in rabbits of acyclovir diphosphate dimyristoylglycerol
- AU Shakiba, Sima; Freeman, William R.; Flores-Aguilar, Marisa; Munguia, David; Tatebayashi, Misako; Besen, Gilberto; Amani, Ramin; Wiley, Clayton A.; Vuong, Chou; et al.
- CS Departments of Ophthalmology, University of California, San Diego/La Jolla, CA, 92093, USA
- SO Antimicrobial Agents and Chemotherapy (1995), 39(6), 1383-5 CODEN: AMACCQ; ISSN: 0066-4804
- PB American Society for Microbiology
- DT Journal
- LA English
- IT 139701-81-8

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(antiviral effect in human cytomegalovirus-infected cells and pharmacokinetics and intravitreal toxicol. in rabbits of acyclovir diphosphate dimyristoylglycerol with liposomes)

RN 139701-81-8 HCAPLUS

CN Tetradecanoic acid, 1-[10-(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)-3,5-dihydroxy-3,5-dioxido-2,4,6,9-tetraoxa-3,5-diphosphadec-1-yl]-1,2-ethanediyl ester, (R)- (9CI) (CA INDEX NAME)

PAGE 1-B

L27 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Synthesis and antiviral activity of 3'-azido-3'-deoxythymidine triphosphate distearoylglycerol: a novel phospholipid conjugate of the

anti-HIV agent AZT GI

AB Phospholipid conjugates of 3'-azido-3'-deoxythymidine (AZT) show activity against the human immunodeficiency virus (HIV) in vitro. In a previous report (K.Y. Hostetler, L.M. Stuhmiller, B.H.M. Lenting, H. van den Bosch and D.D. Richman (1991), J. Biol. Chemical 265, 6112-6117), the syntheses and anti-HIV activities of AZT mono- and diphosphate diglyceride have been

Ι

described. The authors now report on the synthesis, characterization and biol. activity of 3'- azido-3'-deoxythymidine triphosphate distearoylglycerol (AZTTP-DSG) (I). The compound was prepared by the condensation of AZT diphosphate with distearoylphosphatidic acid morpholidate in anhydrous pyridine at room temperature and purified by high-performance liquid chromatog. using a silica column. Characterization was performed with 31P-NMR and IR analyses and determination of the fatty acid, phosphorus and nucleoside content of the product. AZTTP-DSG inhibited HIV-1 replication in both CEM and HT4-6C cells at a level intermediate in potency between its mono- and diphosphate analogs. The IC50 values of AZTTP-DSG were 0.33 and 0.79 μM in these two cell lines, resp. In addition, AZTTP-DSG was less toxic to CEM cells in vitro than the other AZT liponucleotides and reduced viable cell nos. in this cell type by 50% at 1000 μM . Initial studies on the metabolism of AZTTP-DSG revealed that both AZT and AZT monophosphate were liberated from the lipid pro-drug by a rat liver mitochondrial enzyme preparation These phospholipid derivs. of AZT nucleotides represent pro-drugs for the intracellular delivery of phosphorylated antiviral nucleoside analogs.

AN 1994:499134 HCAPLUS <<LOGINID::20081121>>

DN 121:99134

OREF 121:17555a,17558a

TI Synthesis and antiviral activity of 3'-azido-3'-deoxythymidine triphosphate distearoylglycerol: a novel phospholipid conjugate of the anti-HIV agent AZT

AU van Wijk, G. M. T.; Hostetler, K. Y.; Kroneman, E.; Richman, D. D.; Sridhar, C. N.; Kumar, R.; van den Bosch, H.

CS Centre for Biomembranes and Lipid Enzymology, Utrecht University, Padualaan 8, CH Utrecht, 3584, Neth.

SO Chemistry and Physics of Lipids (1994), 70(2), 213-22 CODEN: CPLIA4; ISSN: 0009-3084

DT Journal

LA English

IT 146198-72-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiviral activity of, against HIV-1 virus in human cells)

RN 146198-72-3 HCAPLUS

CN Thymidine 5'-(trihydrogen diphosphate), 3'-azido-3'-deoxy-, P'-[2,3-bis[(1-oxooctadecyl)oxy]propyl] ester, (R)- (9CI) (CA INDEX NAME)

Me

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L27 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
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- TI Cytostatic effects of various alkyl phospholipid analogs on different cells in vitro
- AΒ Phospholipid analogs were studied with regard to their cytostatic activity on different tumor cell lines and on murine bone marrow cells. Compds. compared for their activity were alkylglycero- and alkyl-phosphocholines with the corresponding serines and the alkylphosphocholines and -serines with the corresponding phosphono derivs. Moreover, compds. containing CDP instead of the phospho (or phosphono-) choline or serine moiety were studied. Rac-2-Chloro-2-deoxy-2-deoxy-1-0-hexadecylglycero-3phosphocholine (cpd. Id), hexadecylphosphocholine (cpd. Ia) as well as hexadecylphosphonocholine (cpd. Ib) inhibited growth of tumor cells in suspension and monolayer culture and their colony and cluster formation in agar culture but not that of bone marrow cells. The exchange of choline for serine in these compds. results in the loss of this type of antitumor specificity. However, dodecylphosphono-L-serine (cpd. IIc) is as specific as the choline derivs. Ia, b, d mentioned. Thus, for serine compds. the specificity for tumor cells might depend in a critical way on the length of the alkyl chain. The phosphone compds. Ib, IIb show almost the same activity as the corresponding compds. hexadecylphosphocholine (cpd. Ia) or hexadecylphosphoserine (cpd. IIa). The CDP-derivs. (IIIa, d, e, f) inhibited growth of tumor cells in suspension or monolayer cultures but not the colony and cluster formation in agar (i.e. they do not decrease the plating efficiency) from either tumor or bone marrow cells.
- AN 1993:440254 HCAPLUS <<LOGINID::20081121>>
- DN 119:40254
- OREF 119:7119a,7122a
- TI Cytostatic effects of various alkyl phospholipid analogs on different cells in vitro
- AU Langen, P.; Maurer, H. R.; Brachwitz, H.; Eckert, K.; Veit, A.; Vollgraf, C.
- CS Max-Delbruck Cent. Mol. Med., Berlin, D-1115, Germany
- SO Anticancer Research (1992), 12(6B), 2109-12 CODEN: ANTRD4; ISSN: 0250-7005
- DT Journal
- LA English
- IT 25527-53-1 136194-83-7 148471-84-5
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (antitumor activity of, as phospholipid analog, structure in relation to)
- RN 25527-53-1 HCAPLUS
- CN Cytidine 5'-(trihydrogen diphosphate), P'-[2,3-bis[(1-oxooctadecyl)oxy]propyl] ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 136194-83-7 HCAPLUS
CN Cytidine 5'-(trihydrogen diphosphate),
 P'-[2-methoxy-3-(octadecyloxy)propyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L27 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of 1,2-di-O-acyl glycero(di)phosphate of L-carnitine and its derivatives as drugs

AB ROCH2CHOR1CH2[OP(O)(OH)]mOP(O)[O(H)n]OCH(CH2CO2R2)CH2N+Me3 [I; R, R1 = (unsatd.) C2-22 acid radical; R2 = H, alkyl; m, n = 0, 1], were prepared for treatment of slow cerebral metabolism, cardiac disturbances, dyslipemia, and hyperlipoproteinemia (no data). Thus, Me3N+CH2CH(OPO3H2)CH2CO2H (preparation given) in MeOH was evaporated with Me4NOH. 1,2-Di-O-palmitoyl-3-bromoglycerol and MeCN were added to the residue and the mixture was refluxed 5-6 h to give L-carnitine 1,2-di-O-palmitoyl glycerophosphate. I are said to be antiarrhythmics and have a pos. inotropic effect, to reduce serum triglyceride and cholesterol levels, and to increase activity in rats when injected intracerebroventricularly.

AN 1990:441324 HCAPLUS <<LOGINID::20081121>>

DN 113:41324

OREF 113:7047a,7050a

TI Preparation of 1,2-di-O-acyl glycero(di)phosphate of L-carnitine and its derivatives as drugs

IN Puricelli, Laura

PA Magis Farmaceutici S.r.l., Italy

SO Eur. Pat. Appl., 15 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIND DATE		APPLICATION NO.				DATE					
							-									
ΡI	EP	3488	59			A1		1990	0103		EP 1	989-11	L1591		19890626	<
		R:	BE,	DE,	ES,	FR,	GB.	GR,	ΙΤ,	LU,	NL					
PRAI	ΙT	1988	-211	87		A		1988	0701	<-	_					

IT 1988-21188
OS MARPAT 113:41324

IT 127985-34-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as drug)

A 19880701 <--

RN 127985-34-6 HCAPLUS

CN 1-Propanaminium, 2-[[[[[2,3-bis[(1-

oxohexadecy1)oxy]propoxy]hydroxyphosphinyl]oxy]hydroxyphosphinyl]oxy]-3carboxy-N,N,N-trimethyl-, inner salt (CA INDEX NAME)

L27 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of acetylcarnitine acetylglycerophosphate salts as drugs

GI

XOCH2CH(OAc)CH2OY (I; R = C1-5 alkyl; X = Q1, H, Ac; Y = Q1; n = 0, 1; provided that when X = H, n = 0), were prepared for treatment of cardiac malfunction, hyperlipoproteinemia, dyslipemias, slow cerebral metabolism, and senile or presenile dementia (no data), were prepared Thus, 2-acetylglycerol (preparation given), (PhO)2 P(O)Cl, and pyridine were stirred 2 days at room temperature The product was hydrolyzed to give 2-acetylglycerol 1,3-diphosphate. The latter, in EtOH was treated with acetylcornitine followed by removal of solvent to give the salt.

1990:406804 HCAPLUS <<LOGINID::20081121>> ΑN

113:6804 DN

OREF 113:1323a,1326a

Preparation of acetylcarnitine acetylglycerophosphate salts as drugs

ΙN Puricelli, Laura

PAMagis Farmaceutici S.r.l., Italy

SO Eur. Pat. Appl., 17 pp. CODEN: EPXXDW

DT Patent

English LA

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 340759	A1	19891108	EP 1989-108029	19890503 <
	R: BE, DE, ES,	FR, GB	, GR, IT,	LU, NL	
PRAI	IT 1988-20482	A	19880506	<	
	IT 1988-20514	A	19880510	<	
	IT 1988-20579	A	19880513	<	
	IT 1988-20582	A	19880513	<	
	IT 1988-20583	A	19880513	<	
OS	MARPAT 113:6804				
ΙT	127487-47-2P 127487	-49 - 4P			
	RL: SPN (Synthetic	prepara	tion); TH	U (Therapeutic use); BIOI	L
	(Biological study);	PREP (Preparati	on); USES (Uses)	

(preparation of, as drug)

127487-47-2 HCAPLUS RN

CN 1-Propanaminium, 2-(acetyloxy)-3-carboxy-N,N,N-trimethyl-, (R)-, 2-(acetyloxy)-1,3-propanediyl bis(diphosphate) (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127487-46-1 CMF C5 H12 O16 P4

CM 2

CRN 89946-58-7 CMF C9 H18 N O4

Absolute stereochemistry. Rotation (-).

RN 127487-49-4 HCAPLUS

CN 1-Propanaminium, 2-(acetyloxy)-3-carboxy-N,N,N-trimethyl-, (R)-, 2,3-bis(acetyloxy)propyl (diphosphate) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 125971-14-4 CMF C7 H13 O11 P2

CM 2

CRN 89946-58-7 CMF C9 H18 N O4

Absolute stereochemistry. Rotation (-).

L27 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Therapeutic activity of 1- β -D-arabinofuranosylcytosine conjugates of lipids in WEHI-3B leukemia in mice

GI

AB Two new conjugates of ara-C and lipids were tested for therapeutic activity in myelomonocytic WEHI-3B leukemia in mice. Both conjugates were superior to equimolar mixts. of their resp. parent compds. and to ara-C alone. I.p. treatment was found effective after either i.p. or i.v. transplantation of the leukemia. The thioether-linked lipid conjugate ara-CDP-D,L-PTBA (I) showed considerably higher efficacy than the ester-linked lipid conjugate ara-CDP-L-dipalmitin (II). The optimal therapeutic regimen of ara-CDP-D,L-PTBA consisted of 60 mg/kg given i.p. q.d. 1-5 after transplantation of the WEHI-3B leukemia.

AN 1989:417233 HCAPLUS <<LOGINID::20081121>>

DN 111:17233

OREF 111:2903a,2906a

TI Therapeutic activity of 1- β -D-arabinofuranosylcytosine conjugates of lipids in WEHI-3B leukemia in mice

AU Berdel, Wolfgang E.; Okamoto, Shinichiro; Danhauser-Riedl, Susanne; Hong, Chung Il; Winton, Elliott F.; West, Charles R.; Rastetter, Johann; Vogler, W. Ralph

CS Sch. Med., Emory Univ., Atlanta, GA, 30322, USA

SO Experimental Hematology (New York, NY, United States) (1989), 17(4), 364-7 CODEN: EXHMA6; ISSN: 0301-472X

DT Journal

LA English

IT 71065-86-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor activity of, in myelomonocytic leukemia)

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

PAGE 1-B

L27 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antineoplastic activity of conjugates of lipids and $1-\beta-D$ -arabinofuranosylcytosine

Five different lipid conjugates of $1-\beta$ -D-arabinofuranosylcytosine AB (ARA-C) were tested in comparison with ARA-C, the ether lipid ET-18-OCH3 (1-O-octadecyl-2-O-methyl-rac-glycero-3-phosphocholine) and their equimolar mixts. The compds. were tested in vitro for cytotoxicity in the trypan blue dye exclusion test with cells from 6 different leukemias, glioblastoma, and 2 bronchogenic carcinomas of human origin. The compds. were given in vivo to assess their therapeutic activity against 3-Lewis lung carcinoma (3-LL) of syngeneic mice. Although some of the conjugates showed cytotoxic activity in vitro against the cell samples tested, they did not reveal higher cytotoxicity than ET-18-OCH3, ARA-C, or their equimolar mixts. In these expts., ARA-CDP-DL-MBA was the conjugate with the highest cytotoxicity. Some of the conjugates inhibited tumor growth and also increased survival of mice with i.p. implanted 3-LL. In these expts., ARA-CDP-DL-PTBA, ARA-CDP-DL-PBA, ARA-CDP-L-dipalmitin and ARA-CDP-DL-PCA were more active than either of the parent compds. ARA-C and Et-18-OCH3, alone or in their equimolar mixts. Furthermore, when the conjugates were injected as adjuvant chemotherapy shortly after the surgical removal of the primary 3-LL, they inhibited the metastasis of 3-LL to the lungs of the animals, demonstrated by an increase of the survival time and the number of surviving animals.

AN 1988:68425 HCAPLUS <<LOGINID::20081121>>

DN 108:68425

OREF 108:11171a,11174a

TI Antineoplastic activity of conjugates of lipids and $1\text{-}\beta\text{-}\text{D-arabinofuranosylcytosine}$

AU Berdel, Wolfgang E.; Danhauser, Susanne; Schick, Hans D.; Hong, Chung Il; West, Charles R.; Fromm, Michael; Fink, Ulrich; Reichert, Anneliese; Rastetter, Johann

CS Dep. Med. I, Tech. Univ., Munich, 8000/80, Fed. Rep. Ger.

SO Lipids (1987), 22(11), 943-6

CODEN: LPDSAP; ISSN: 0024-4201

DT Journal

LA English

IT 71065-86-6 103383-66-0 103383-67-1

103383-68-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

$$-$$
 (CH₂)₁₄ Me

RN 103383-66-0 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

RN 103383-67-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103383-68-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-(1,3-dihydroxy-6-methoxy-1,3-dioxido-2,4,8-trioxa-1,3-diphosphahexacos-1-yl)- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

L27 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Antitumor effects of 1- β -D-arabinofuranosylcytosine conjugates of 1,2-dipalmitins on L1210 leukemia in mice

Antitumor activities of 1-\$\beta\$-D-arabinofuranosylcytosine 5'-diphosphate-L-1,2-dipalmitin (ara-CDP-L-dipalmitin) (I) [71065-86-6] and its stereoisomer ara-CDP-D-dipalmitin [92693-06-6] and ara-CDP-DL-dipalmitin [63357-80-2] were compared in mice inoculated with L1210 lymphoid leukemia. The order of antitumor activity was L > D > DL. The difference between the L- and the DL-isomers was particularly apparent on the advanced state of the diseases. In mice implanted with ara-C [147-94-4]-resistant L1210 leukemia, the L-isomer gave a marked increase of life span, but the D-isomer was ineffective. Thus, the best conjugates of this type have a linkage with the naturally occurring phospholipid.

AN 1985:605547 HCAPLUS <<LOGINID::20081121>>

DN 103:205547

OREF 103:32977a,32980a

- TI Antitumor effects of $1-\beta-D$ -arabinofuranosylcytosine conjugates of 1,2-dipalmitins on L1210 leukemia in mice
- AU Hong, Chung I.; An, S. H.; Nechaev, A.; Buchheit, D. J.; West, C. R.; MacCoss, Malcolm
- CS Dep. Neurosurg., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA
- SO Proc. Int. Congr. Chemother., 13th (1983), Volume 16, 257/19-257/22. Editor(s): Spitzy, K. H.; Karrer, K. Publisher: Verlag H. Egermann, Vienna, Austria. CODEN: 53XPA8

DT Conference

LA English

IT 63357-80-2 71065-86-6 92693-06-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by, structure in relation to)

RN 63357-80-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

$$-$$
 (CH₂)₁₄ Me

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 92693-06-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L27 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN TI $1-\beta-D$ -Arabinofuranosylcytosine-phospholipid conjugates as prodrugs of Ara-C GI

AB The L- [71065-86-6], D- [92693-06-6], and D,L-isomers of $1-\beta$ -D-arabinofuranosylcytosine 5'-diphosphate-1,2-dipalmitin (I) [63357-80-2], new prodrugs of ara-C, have been evaluated for antitumor activity in L1210 lymphoid leukemic mice. The L-isomer produced significant increase in life span (ILS), and longterm survivors among mice bearing i.p. and i.c. implanted L1210 leukemia and the maximal ILS values

Ι

found were >543 and >374% with five and four 45-day survivors out of six mice, resp., at the optimal single doses of 300 mg/kg and 125 mg/kg. The D- and D,L-isomers also displayed significant in vivo antitumor activity against both i.p. and i.c. implanted L1210 leukemia in mice with ILS range of 144-293% at a total dose of 125-250 mg/kg. Significant schedule dependency was not observed when the conjugates were administered i.p. once daily for 5 days, once every 4 days, or as a single dose, but single doses typically produced the best effects. The L-isomer was found to be a more effective prodrug of ara-C than its isomers and other lipophilic prodrugs, 5'-O-palmitoylara-C and N4-acyl-ara-C. Unlike the latter prodrugs, the new conjugates are water soluble by the sonication method.

AN 1984:583575 HCAPLUS <<LOGINID::20081121>>

DN 101:183575

OREF 101:27609a,27612a

TI $1-\beta-D-A$ rabinofuranosylcytosine-phospholipid conjugates as prodrugs of Ara-C

AU Hong, Chung I.; An, Seung Ho; Buchheit, David J.; Nechaev, Alexander; Kirisits, Alan J.; West, Charles R.; Ryu, Eung K.; MacCoss, Malcolm

CS Dep. Neurosurg., Roswell Park Mem. Inst., Buffalo, NY, 14263, USA

SO Cancer Drug Delivery (1984), 1(3), 181-90 CODEN: CDDED7; ISSN: 0732-9482

DT Journal

LA English

IT 63357-80-2 71065-86-6 92693-06-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm-inhibiting activity of)

RN 63357-80-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 92693-06-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, (S)- (9CI) (CA INDEX NAME)

L27 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Synthesis and biological activity of novel nucleoside-phospholipid prodrugs

GΙ

AB $1-\beta-D$ -arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin (I) [71065-86-6], tubericidin diphosphate-L-dipalmitin [85145-69-3], and a mixture of I and $1-\beta-D$ -arabinofuranosylcytosine-5'-monophosphate-L-1,2-dipalmitin [85145-70-6] synthesized by previous methods, inhibited growth of mouse myeloma MPC-11 and L1210 lympholeukemic cells to a greater extent than did ara-C or tubericidin. I also markedly increased survival of mice inoculated with L1210 cells when administered 24 h before and especially when administered on the day of tumor inoculation. The in vivo effect was much greater than that of ara-C.

Ι

AN 1983:132201 HCAPLUS <<LOGINID::20081121>>

DN 98:132201

OREF 98:20041a,20044a

TI Synthesis and biological activity of novel nucleoside-phospholipid prodrugs

AU MacCoss, M.; Ryu, E. K.; Hong, Chung I.; Matsishita, T.

CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, 60439, USA

Proc. Int. Round Table Nucleosides, Nucleotides Their Biol. Appl., 4th (1982), Meeting Date 1981, 255-63. Editor(s): Alderweireldt, Frank C.; Esmans, Eddy L. Publisher: Univ. Antwerp, Antwerp, Belg. CODEN: 49EBA4

DT Conference

LA English

TT 71065-86-6P 85145-69-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and neoplasm-inhibiting activity of)

RN 71065-86-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-

arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$-$$
 (CH₂)₁₄ Me

RN 85145-69-3 HCAPLUS CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-ribofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

_ (CH₂) 14

L27 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN Phospholipid-nucleoside conjugates. 3. Syntheses and preliminary biological evaluation of $1-\beta-D$ -arabinofuranosylcytosine 5'-monophosphate-L-1,2-dipalmitin and selected $1-\beta$ -D-arabinofuranosylcytosine 5'-diphosphate-L-1,2-diacylglycerols Several new phospholipid-ara-C (ara-C = AΒ $1-\beta$ -D-arabinofuranosylcytosine) conjugates have been prepared and tested as prodrugs of the parent ara-C. The new derivs. include ara-CMP-L-dipalmitin, ara-CDP-L-distearin, ara-CDP-L-dimyristin, ara-CDP-L-diolein, and ara-CDP-L-di[1-14C]palmitin. The new prodrugs were solubilized by sonication methods and tested for their antiproliferative activity in vitro against mouse myeloma MPC-11 cells and against L1210 lymphoid leukemia. The antiproliferative activities of the prodrugs (as determined by ED50) were less than ara-C on a molar basis. In the mouse myeloma cell line some evidence was obtained that the antiproliferative activity was related to the chain length of the fatty acid side chains in the prodrugs. In in vivo studies against L1210 lymphoid leukemia in mice, the prodrugs were much more effective than ara-C with the overall efficacy apparently being independent of the length of the fatty acid side chain. ara-CDP-L-dimyristin, which bears the shortest fatty acid side chain, was more toxic at the higher dosages than the longer chain length derivs. 1982:563397 HCAPLUS <<LOGINID::20081121>> ΑN DN 97:163397 OREF 97:27269a Phospholipid-nucleoside conjugates. 3. Syntheses and preliminary ΤI biological evaluation of $1-\beta-D$ -arabinofuranosylcytosine 5'-monophosphate-L-1,2-dipalmitin and selected $1-\beta$ -D-arabinofuranosylcytosine 5'-diphosphate-L-1,2-diacylglycerols Ryu, Eung K.; Ross, Robert J.; Matsushita, Tatsuo; MacCoss, Malcolm; Hong, ΑU Chung I.; West, Charles R. CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, 60439, USA SO Journal of Medicinal Chemistry (1982), 25(11), 1322-9 CODEN: JMCMAR; ISSN: 0022-2623 DТ Journal LA English 83200-41-3P 83214-11-3P 83214-12-4P ΙT RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and pharmacol, activity of) RN 83200-41-3 HCAPLUS CN 2(1H) -Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-dihydroxy-1,3-dioxido-9-oxooxotetradecyl)oxy]-2,4,8-trioxa-1,3-diphosphadocos-1-yl]- β -D-

arabinofuranosyl]-, disodium salt, (R)- (9CI) (CA INDEX NAME)

●2 Na

PAGE 1-B

RN 83214-11-3 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxooctadecyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]- β -D-arabinofuranosyl]-, (R)- (9CI) (CA INDEX NAME)

RN 83214-12-4 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxo-9-octadecencyl)oxy]-2,4,8-trioxa-1,3-diphosphahexacos-17-en-1-yl]- β -D-arabinofuranosyl]-, [R-(Z,Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

$$(CH_2)_{7}^{Me}$$
 Z
 $(CH_2)_{7}^{Me}$
 Me

L27 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Cytotoxic liponucleotide analogs

GΙ

AB Nucleotides of nucleosides or bases having cytotoxic activity are reacted to form corresponding cytotoxic liponucleotides I (R1 and R2 = saturated or unsatd. alkyl; X1 and X2 = 0, CH2, O2C, or NHCO; X3, X4, and X5 = 0 or CH2; X6 = heterocyclic nucleoside base; sugar = ribose, deoxyribose, lyxose, etc.) by phosphorylation of phosphatidic acids. The resulting analogs have an enhanced therapeutic index and broader spectrum of antitumor activity with respect to the parent compound. Thus, various I were synthesized and tested for cytotoxic activities. I may be useful cytotoxic, antiviral, and antineoplastic agents due to their apparent selective uptake by tumor cells.

Ι

AN 1982:15214 HCAPLUS <<LOGINID::20081121>>

DN 96:15214

OREF 96:2519a,2522a

TI Cytotoxic liponucleotide analogs

IN Turcotte, Joseph G.

PA USA

SO U.S., 13 pp. Cont. of U.S. Ser. No. 895,231, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	US 4291024	A	19810922	US 1980-113403	19800118 <			
PRAI	US 1978-895231	A1	19780410	<				
OS	MARPAT 96:15214							
T IT	75400 OF OB 75400 O	C AD 75	400 07 1D					

IT 75409-95-9P 75409-96-0P 75409-97-1P 76726-38-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and neoplasm-inhibiting activity of)

RN 75409-95-9 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

●2 NH3

PAGE 1-B

RN 75409-96-0 HCAPLUS
CN Cytidine 5'-(trihydrogen diphosphate),
P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 NH3

$$-$$
 (CH₂)₁₄ Me

RN 75409-97-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-(9,12-octadecadienyloxy)-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]- β -D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

●2 NH3

PAGE 1-B

RN 76726-38-0 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[6-(hexadecyloxy)-1,3-dihydroxy-1,3-dioxido-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

●2 NH3

ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Cytotoxic liponucleotide analogs. II. Antitumor activity of CDP-diacylglycerol analogs containing the cytosine arabinoside moiety Several cytotoxic liponucleotide analogs of cytidine diphosphate diacylglycerol containing the 1- β -D-arabinofuranosyl moiety, were tested for antitumor activity. Multispecies ara-CDPdiacylglycerol (1- β -D-arabinofuranosylcytosine 5'-diphosphate diacylglycerol) which

 $(1-\beta-D-arabinofuranosylcytosine 5'-diphosphate diacylglycerol) which$ contains egg lecithin-derived mixed fatty acyl chains, was more active than $1-\beta$ -D-arabinofuranosylcytosine (ara-C), a clin. used anticancer drug, against leukemia L5178Y and P388 ascites cells in mice. At identical single doses (50 mg/kg per day times 4) administered i.p., ara-CDPdiacylglycerol prolonged the life spans of L5178Y tumor-bearing mice 93%, whereas ara-C prolonged life by 18%. Ara-CDPdiacylglycerol increased life spans of P388 tumor-bearing mice by 357% at doses of 50 mg/kg per day times 4; the maximum increase with ara-C was 159% (85 mg/kg per day times 4). Against a P388 ara-C-resistant cell line (P/Ara-C, kinase deficient) in mice, ara-CDPdiacylglycerol prolonged survival times by 34% at a dose of 50 mg/kg per day times 4 and by 55% at 75 mg/kg per day times 4; the drug was not active against 2 other ara-C-resistant murine leukemia mutants (CA 55, CA5b). With cell line-derived human colon carcinoma HCT-15 grown in mice immunosuppressed with anti-thymocyte serum, ara-CDPdiacylqlycerol at a single daily dose of 50 mg/kg per day times 4 significantly reduced tumor wts. to 21% of the controls; the same dose schedule of ara-C caused no observable redns. of tumor wts. Cytotoxic liponucleotide analogs should be investigated further to determine their potential as antineoplastic mols.

AN 1980:597740 HCAPLUS <<LOGINID::20081121>>

DN 93:197740

L27

OREF 93:31379a,31382a

TI Cytotoxic liponucleotide analogs. II. Antitumor activity of CDP-diacylglycerol analogs containing the cytosine arabinoside moiety

AU Turcotte, J. G.; Srivastava, S. P.; Steim, J. M.; Calabresi, P.; Tibbetts, L. M.; Chu, M. Y.

CS Coll. Pharm., Univ. Rhode Island, Kingston, RI, 02881, USA

SO Biochimica et Biophysica Acta, Lipids and Lipid Metabolism (1980), 619(3), 619-31 CODEN: BBLLA6; ISSN: 0005-2760

DT Journal

LA English

IT 75409-95-9 75409-96-0 75409-97-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

RN 75409-95-9 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 NH3

PAGE 1-B

$$-$$
 (CH₂)₁₄ Me

RN 75409-96-0 HCAPLUS
CN Cytidine 5'-(trihydrogen diphosphate),
 P'-[2,3-bis[(1-oxohexadecyl)oxy]propyl] ester, diammonium salt (9CI) (CA INDEX NAME)

●2 NH3

PAGE 1-B

RN 75409-97-1 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-O-[1,3-dihydroxy-1,3-dioxido-6-(9,12-octadecadienyloxy)-2,4,8-trioxa-1,3-diphosphahexacos-1-yl]- β -D-arabinofuranosyl]-, diammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

●2 NH3

L27 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN

TI The synthesis, characterization, and preliminary biological evaluation of $1-\beta-D$ -arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin

GΙ

AB This paper describes the synthesis of a single diastereomer by conversion of ara-CMP [7075-11-8] to the nucleoside 5'-phosphomorpholidate [69467-87-4], followed by reaction with L- α -dipalmitoylphosphatidic acid pyridinium salt [69467-86-3] to give 1- β -D-arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin di-Na salt (I) [69483-93-8] in good yields. The separation of the product is described and its characterization by chromatog., elemental anal., and spectroscopic methods. The lipophilic nature of I renders it insol. in aqueous media and a method of sample preparation utilizing sonication techniques is

described which provides a clear solution suitable for biol. evaluation. In addition, the ability of I to inhibit the in vitro growth of L1210 cells and of mouse myeloma MPc 11 cells is described and compared with ara C [147-94-4] and its lipophilic prodrugs.

AN 1979:145575 HCAPLUS <<LOGINID::20081121>>

DN 90:145575

OREF 90:23005a,23008a

TI The synthesis, characterization, and preliminary biological evaluation of $1-\beta-D$ -arabinofuranosylcytosine-5'-diphosphate-L-1,2-dipalmitin

AU MacCoss, Malcolm; Ryu, Eung K.; Matsushita, Tatsuo

CS Div. Biol. Med. Res., Argonne Natl. Lab., Argonne, IL, USA

SO Biochemical and Biophysical Research Communications (1978), 85(2), 714-23

CODEN: BBRCA9; ISSN: 0006-291X

DT Journal

Absolute stereochemistry.

PAGE 1-B

arabinofuranosyl]-, disodium salt, (R)- (9CI) (CA INDEX NAME)

•2 Na

PAGE 1-B

L27 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2008 ACS on STN
TI A phospholipid derivative of cytosine arabinoside and its conversion to phosphatidylinositol by animal tissue
GI

$$\begin{array}{c} \text{CH}_2\text{O}_2\text{C} \left(\text{CH}_2\right)_14\text{Me} \\ \\ \text{Me} \left(\text{CH}_2\right)_14\text{CO}_2\text{CH} \\ \\ \text{CH}_2\text{O} \\ \\ \text{OH} \end{array} \begin{array}{c} \text{O} \\ \\ \text{PO} \\ \\ \text{OH} \end{array} \begin{array}{c} \text{N} \\ \\ \text{CH}_2 \\ \\ \text{OH} \end{array} \begin{array}{c} \text{N} \\ \\ \text{OH} \end{array}$$

AB Ara-CDP-DL-dipalmitin (I) [63357-80-2], an analog of cytidine diphosphate diglyceride, was synthesized. Enzymes in rat and human liver converted I to phosphatidylinositol, thereby releasing ara CMP [9068-49-9] an obligatory intermediate in the activation of ara C. Unlike cytidine diphosphate diglyceride, I was not an efficient substrate for phosphatidylglycerophosphate synthesis in liver or phosphatidylserine in Escherichia coli. The antitumor activity of ara-CDP-DL-dipalmitin in mice bearing L5178Y leukemia is described.

AN 1977:495654 HCAPLUS <<LOGINID::20081121>>

DN 87:95654

OREF 87:15105a,15108a

TI A phospholipid derivative of cytosine arabinoside and its conversion to phosphatidylinositol by animal tissue

AU Raetz, Christian R. H.; Chu, Ming Y.; Srivastava, Surya P.; Turcotte, Joseph G.

CS Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI, USA

SO Science (Washington, DC, United States) (1977), 196(4287), 303-5 CODEN: SCIEAS; ISSN: 0036-8075

DT Journal

LA English

IT 63357-80-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

RN 63357-80-2 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[5-0-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxohexadecyl)oxy]-2,4,8-trioxa-1,3-diphosphatetracos-1-yl]- β -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

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chain nodes :
1 2 3 4 5 6 7 8 9 10 11 16 17 19 20
chain bonds :
1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 7-20 8-9 8-10
exact/norm bonds :
1-5 4-7 5-16 6-17 7-20 8-9 8-10

exact bonds :

1-2 1-3 1-19 2-6 3-4

G1:P,[*1],[*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain

Match level:

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

L28 STRUCTURE UPLOADED

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100.0% PROCESSED 1609 ITERATIONS

115 ANSWERS

SEARCH TIME: 00.00.01

L29 115 SEA SUB=L15 SSS FUL L28

=> d 129 scan

L29 115 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Adenosine, 5',5'''-[0,0'-[2-[(hydroxymercaptophosphinyl)oxy]-1,3-propanediyl] bis(hydrogen phosphorothioate)] (9CI)

MF C23 H33 N10 O15 P3 S3

Absolute stereochemistry.

PAGE 1-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L29 115 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Phosphoric acid, mono(2-aminoethyl)
 mono[2-[(hexadecylmethoxyphosphinothioyl)oxy]-3-(hexadecyloxy)propyl]
 ester, [R-(R*,R*)]- (9CI)
MF C38 H81 N O7 P2 S

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L29 115 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN IN Octadecanoic acid, 2,3-bis(phosphonooxy)propyl ester MF C21 H44 O10 P2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> s 129

L30 256 L29

=> s 117 and 130

L31 0 L17 AND L30

=> s hypercholesterolem? or hyperlipidem? or dyslipidem? or cholesterol

18861 HYPERCHOLESTEROLEM?

16996 HYPERLIPIDEM?

8454 DYSLIPIDEM?

192334 CHOLESTEROL

L32 209639 HYPERCHOLESTEROLEM? OR HYPERLIPIDEM? OR DYSLIPIDEM? OR CHOLESTER
OL

=> s 130 and 132

L33 4 L30 AND L32

=> d 133 1-4 ti abs bib hitstr

L33 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Hydration in drug design. 2. Influence of local site surface shape on water binding

AB If water mols. are strongly bound at a protein-ligand interface, they are

unlikely to be displaced during ligand binding. Such water mols. can change the shape of the ligand binding site and thus affect strategies for drug design. To understand the nature of water binding, and factors influencing it, water mols. at the ligand binding sites of 26 high-resolution protein-ligand complexes have been examined here. Water mols. bound in deep grooves and cavities between the protein and the ligand are located in the indentations on the protein-site surface, but not in the indentations on the ligand surface. The majority of the water mols. bound in deep indentations on the protein-site surface make multiple polar contacts with the protein surface. This may indicate a strong binding of water mols. in deep indentations on protein-site surfaces. The local shape of the site surface may influence the binding of water mols. that mediate protein-ligand interactions.

AN 1996:51312 HCAPLUS <<LOGINID::20081121>>

DN 124:164308

OREF 124:30139a,30142a

TI Hydration in drug design. 2. Influence of local site surface shape on water binding

AU Poornima, C. S.; Dean, P. M.

CS Dep. Pharmacology, Univ. Cambridge, Cambridge, CB2 1QJ, UK

SO Journal of Computer-Aided Molecular Design (1995), 9(6), 513-20 CODEN: JCADEQ; ISSN: 0920-654X

PB ESCOM

GΙ

DT Journal

LA English

IT 120411-63-4

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(hydration in drug design - influence of local site surface shape on water binding)

RN 120411-63-4 HCAPLUS

CN Phosphoric acid, mono(2-aminoethyl)

mono[(2R)-2-[(heptylhydroxyphosphinyl)oxy]-3-(octyloxy)propyl] ester (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of sterol esters and sterol phosphorus compounds as neoplasm inhibitors

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds., e.g., [I, II, III; R1 = C1-10 alkyl, C2-10 alkenyl; R2 = R5(CH:CHCHMe:CH)nCO2, R5(CH:CHCHMe:CH)n(CH:CHCH:CMe)nCH:CHCO2,

R602CCH2CH(CO2R6)CH2OP(O)(XNa)O-, OP(O)(XNa)OR6; n = 1-5; R5 = Q1-Q4, etc., R6 = C1-32 alkyl, C2-32 alkenyl, etc.; X = 0, S], were prepared Thus, all-trans-retinoic acid in PhMe containing cat. DMF was stirred 4 h with (COCl)2; stigmasterol and 4-(dimethylamino)pyridine in PhMe were added and the mixture was refluxed 2 h to give stigmasterol all-trans-retinoate. Title compds. were active against murine adenocarcinoma at dilns. of (1:400,000)-(1:40,000,000). Generic formulations containing title compds. were prepared

AN 1993:102310 HCAPLUS <<LOGINID::20081121>>

DN 118:102310

OREF 118:17940h,17941a

- TI Preparation of sterol esters and sterol phosphorus compounds as neoplasm inhibitors
- IN Eugster, Carl; Eugster, Conrad Hans; Haldemann, Walter; Rivara, Giorgio
- PA Marigen S.A., Switz.
- SO PCT Int. Appl., 93 pp. CODEN: PIXXD2
- DT Patent
- LA German

FAN.CNT 1

	PATENT NO.					KIND		DATE			APPLICATION NO.						DATE		
ΡI	WO	9212			110	A1	-	1992	0806	M	0	1991-	 CH22	1		19911	1025		
		W: RW·	,	SU, BE		DE	DK	ES,	FR	GB	GR	R, IT,	T.IT	NT.	SE				
	СН	6811	,	22,	0117	A5	D10,	1993	,	•		1991-		111	, 55	1991(128		
	ΕP	5482	61			A1		1993	0630	E	Ρ	1991-	9179	41		19911	L025		
	ΕP	5482	61			В1		1995	0510										
		R:	DE,	FR,	GB,	ΙT													
	JΡ	0550	5401			T		1993	0812	J.	Ρ	1991-	5163	45		19911	L025		
	JΡ	2955	018			В2		1999	1004										
	RU	2113	219			C1		1998	0620	R	U	1991-	5053	147		19911	L025		
	US	5496	813			A		1996	0305	U	S	1992-	3997			19920	813		
PRAI	СН	1991	-257			A		1991	0128										
	WO	1991	-CH2	21		W		1991	1025										

- OS CASREACT 118:102310; MARPAT 118:102310
- IT 144338-38-5P 144338-39-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as neoplasm inhibitor)

- RN 144338-38-5 HCAPLUS
- CN Ergosta-5,7,22-trien-3-ol, 4-hydroxy-8,8-dimethyl-2-[(octadecyloxy)methyl]-4-oxido-3,5-dioxa-8-azonia-4-phosphanon-1-yl hydrogen phosphate, inner salt, (3 β ,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

_Pr−i

RN 144338-39-6 HCAPLUS

CN Ergosta-5,7,22-trien-3-ol, O-[2-[(hydroxymercaptophosphinyl)oxy]-3-(octadecyloxy)propyl] hydrogen phosphorothioate, (3 β ,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

`Pr−i

```
L33 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
```

- TI Phospholipides and related substances as growth substrates for soil microorganisms
- AB Actinomycetes isolated from soil were found to grow on media containing (as main C source) the following materials: lecithin; cephalin; inositol lipide; sphingomyelin; sphingolipide; proteolipide; beef spinal cord lipides; phrenosin; purified cerebroside; cholesterol; paraffin; stearate; palmitate; olive oil; bayberry wax; glycerophosphate; choline; acetylcholine; ethylamine, or ethanolamine. Only phrenosin, cholesterol, choline, and ethylamine containing media failed to support the growth of at least 62% of the organisms tested.

AN 1956:92015 HCAPLUS <<LOGINID::20081121>>

DN 50:92015

OREF 50:17274h-i

- TI Phospholipides and related substances as growth substrates for soil microorganisms
- AU Schatz, Albert; Adelson, Lionel M.; Trelawny, Gilbert S.

CS Natl. Agr. Coll., Doylestown, PA

SO Applied Microbiology (1956), 4, 223-8 CODEN: APMBAY; ISSN: 0003-6919

DT Journal

LA Unavailable

IT 152014-30-7, 1,2,3-Propanetriol, tris(dihydrogen phosphate) (metabolism of, by soil micro organisms)

RN 152014-30-7 HCAPLUS

CN 1,2,3-Propanetriol, tris(dihydrogen phosphate) (9CI) (CA INDEX NAME)

OPO3H2 | H2O3PO-CH2-CH-CH2-OPO3H2

- L33 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Lipides of fish. VI. The lipides of cod flesh
- AB cf. C.A. 48, 13107g. Cod flesh was extracted successively with a series of solvents and the various exts. were purified, fractionated, and analyzed by the procedures used previously for haddock. Cod flesh contains the same amount of total lipides as haddock flesh (about 0.6%) and the lipide mixture is very similar in the 2 species: lecithin 35, waxes and alcs. 13, free cholesterol 8, phosphatidyl ethanolamine 7, free fatty acids 6, cholesterol esters 5, triglycerides 3, inositol lipides 2, and unidentified lipides 21%. The unidentified lipides of cod flesh resemble those from haddock in containing at least 2 types of phospholipide. One type is apparently based on phosphoryl glycerol but not on normal glycerophosphoric acid, and probably has a fatty acid:glycerol:P ratio approximating 4:2:1. The other type also has a fatty acid:P ratio of about 4:1, but its P-glycerol relationship has not yet been studied. These phospholipides probably contain N, but the bases in question have

not been identified. The inositol lipides of both species include more than 1 type of compound and in the cod such compds. are present in considerably different proportions than those found in haddock flesh exts. Hydrocarbons found in both cod- and haddock-lipide exts. are probably contaminants derived from rubber. Complex acidic lipides occur in the cod exts. as in those from haddock.

AN 1956:25274 HCAPLUS <<LOGINID::20081121>>

DN 50:25274 OREF 50:5175d-q

UREF 50:51/5d-g

TI Lipides of fish. VI. The lipides of cod flesh

AU Garcia, M. Dolores; Lovern, J. A.; Olley, June

CS Torry Research Sta., Aberdeen, UK

SO Biochemical Journal (1956), 62, 99-107

CODEN: BIJOAK; ISSN: 0264-6021

DT Journal

LA Unavailable

RN 152014-30-7 HCAPLUS

CN 1,2,3-Propanetriol, tris(dihydrogen phosphate) (9CI) (CA INDEX NAME)

OPO3H2 | H2O3PO-CH2-CH-CH2-OPO3H2

=> file stnguide COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 27.18 868.30 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -3.20-30.40

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STRUCTURE FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2 DICTIONARY FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

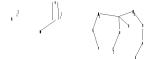
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http://www.cas.org/support/stngen/stndoc/properties.html

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chain nodes :

1 2 3 4 5 6 7 8 9 10 11 16 17 19 20

chain bonds :

1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 7-20 8-9 8-10

exact/norm bonds :

1-5 4-7 5-16 6-17 7-20 8-9 8-10

exact bonds :

1-2 1-3 1-19 2-6 3-4

G1:P, [*1], [*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain

Match level:

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS

L34 STRUCTURE UPLOADED

=> s 134

SAMPLE SEARCH INITIATED 17:31:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 383 TO ITERATE

100.0% PROCESSED 383 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6486 TO 8834

PROJECTED ANSWERS: 5 TO 234

L35 5 SEA SSS SAM L34

=> s 134 sub=115

ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full

FULL SUBSET SEARCH INITIATED 17:32:08 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 1609 TO ITERATE

100.0% PROCESSED 1609 ITERATIONS 95 ANSWERS

SEARCH TIME: 00.00.01

L36 95 SEA SUB=L15 SSS FUL L34

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 42.56 910.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

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FILE 'HCAPLUS' ENTERED AT 17:32:13 ON 21 NOV 2008

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 136 L37 238 L36

=> s (117 or 130) and 137 L38 209 (L17 OR L30) AND L37

=> s 136/thu

ΑN

238 L36 1070979 THU/RL

L39 8 L36/THU

(L36 (L) THU/RL)

=> s (117 or 130) and 139

L40 5 (L17 OR L30) AND L39

=> d 140 1-5 ti abs bib hitstr

L40 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine

Various amphiphilic heterodinucleoside phosphates containing AΒ $1-\beta$ -D-arabinofuranosylcytosine (ara-C) and 5-fluorodeoxyuridine (5-FdUrd) have recently been synthesized in order to increase the efficacy of ara-C and 5-FdUrd. Employing growth inhibition and growth recovery assays, we evaluated the in vitro effects of four of these dimers (Number 2, 2A, 3, 10) in L1210 and P388D1 murine leukemia cells. Although ara-C and 5-FdUrd appeared equimolar in all dimers, their contribution to the cytotoxicity of these agents was different. Thus, the liberation of ara-C and 5-FdUrd from their dimeric origin and their subsequent metabolic activation had a different course. In another set of expts., we examined the in vivo effects of these agents in mice. The dimer with the highest cytotoxicity in vitro exerted the lowest acute toxicity and yielded the lowest therapeutic effect in vivo. The obtained data indicate that dimers with slower liberation of ara-C and 5-FdUrd were less cytotoxic, but prolonged liberation of both antimetabolites protected them from inactivation and extended the time period of therapeutic action. the dimers exceeded the synergistic effects yielded by simultaneous application of both ara-C and 5-FdUrd. The significantly higher therapeutic potential of these new antitumor agents indicates that further studies are warranted.

2007:599574 HCAPLUS <<LOGINID::20081121>>

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DN 147:203336
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- TI In vitro and in vivo antileukemic effect of novel dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine
- AU Rauko, P.; Novotny, L.; Mego, M.; Saiko, P.; Schott, H.; Szekeres, T.
- CS Cancer Research Institute, Slovak Academy of Sciences, Bratislava, SK-833 91, Slovakia
- SO Neoplasma (2007), 54(1), 68-74 CODEN: NEOLA4; ISSN: 0028-2685
- PB AEPress, s.r.o.
- DT Journal
- LA English
- IT 830327-11-2

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (5-fluoro-2'-deoxyuridylyl-(5'-2)-1-O-octadecyl-rac-glycerylyl-(3-5')-arabinocytidine inhibited leukemia cell growth and showed anti-leukemic activity in mouse with leukemia)

RN 830327-11-2 HCAPLUS

CN Uridine, β -D-arabino-cytidylyloxy[2-[(octadecyloxy)methyl]-1,2-ethanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 269743-30-8

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(arabinocytidylyl-(5' \rightarrow 1)-2-0-octadecyl-rac-glycerylyl-(3 \rightarrow 5)-5-fluoro-2'-deoxyuridine inhibited leukemia cell growth and showed anti-leukemic activity in mouse with leukemia)

RN 269743-30-8 HCAPLUS

CN Uridine, β -D-arabino-cytidylyloxy[2-(octadecyloxy)-1,3-

propanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of nucleoside-lipid conjugates as antiviral and antitumor agents

GΙ

AB The invention provides methods for synthesizing nucleoside-lipid

conjugates I, wherein Y1 and Y2 are the same or different and are -O-C(O)-, -O-, -S-, -NH-C(O)- or the like; R1 and R2 are independently H, saturated alkyl group and unsatd. alkyl group; X is H, alkyl group and a cation; R3 is a nucleoside selected from a group consisting of cytosine, guanine, adenine, thymine, uracil, inosine, xanthine and hypoxanthine; R4 and R5 are independently hydrogen, hydroxy, halo group, nitro, alkyl group, substituted alkyl and alkoxy group; R6 is hydrogen, hydroxy group, azido group, amino group, alkyl group, halo group and substituted amino; five membered cyclic sugar is selected from a group consisting of ribofuranose, arabinofuranose, deoxyribofuranose and xylofuranose having varying fatty acid and alkyl chain lengths with or without unsatn. and their use in the treatment of cancer and viral diseases. More particularly, the invention provides methods for preparing gemcitabine-cardiolipin conjugates, and analogs thereof, cytarabine-cardiolipin conjugates, and analogs thereof. Addnl., the methods of the invention comprise administering a compound of invention as prodrug or a pharmaceutical preparation to combat mammalian diseases, preferably cancer, viral infections and bone disorders. The cancer is selected from a group consisting of cancers of the head, neck, brain, blood, breast, lung, pancreas, bone, spleen, bladder, prostate, testes, colon, kidney ovary, and skin. The viral disease is selected from a group consisting of HIV, Herpes simplex viruses, human Herpes virus 6, human Herpes virus 7, human Herpes virus 8, Ebola virus, Influenza virus, Tuberculosis, Hepatitis A, Hepatitis B, Hepatitis C, Hepatitis D, Hepatitis E, Parainfluenza virus, Respiratory syncytial virus, Cholera, pneumonia, SARS virus, West Nile virus, Respiratory syncytial virus, Dengue virus, Corona viruses, Vaccinia virus, Cytomegalovirus, human Rhinovirus, Papilloma virus, and Human Herpesvirus 4. The bone disorder is selected from a group consisting of osteoporosis, Paget's disease, metastatic bone cancers, hyperparathyroidism, rheumatoid arthritis, Gaucher's disease. Thus, 5'-0-succinyl[2-0-1,3-bis(1,2-0-dimyristoyl-snqlycero)-3-phosphorylqlycerol dimethylester] gemcitabine was prepared and tested in-vitro and in mice as antiviral and antitumor agent. The toxicity of gemcitabine-cardiolipin conjugate at 18 μ mol/kg after 6 daily treatments and the body weight loss on day 7 was significantly less compared to gemcitabine. When mice were treated with gemcitabine-cardiolipin conjugate at 18 µmol/kg for 5 days, the maximum body weight loss was only 3 % compare to 22 % for gemcitabine.

AN 2006:235096 HCAPLUS <<LOGINID::20081121>>

DN 144:292980

TI Preparation of nucleoside-lipid conjugates as antiviral and antitumor agents

IN Ahmad, Moghis U.; Ali, Shoukath M.; Khan, Abdul R.; Ahmad, Imran

PA Neopharm, Inc., USA

SO PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.			KIND DATE			APPLICATION NO.						DATE					
ΡI	WO 2006029081		A2 20060316		WO 2005-US31543						20050902							
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	ΚP,	KR,	KΖ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,
			NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-606610P P 20040902

OS MARPAT 144:292980

IT 878675-58-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside-lipid conjugates as antiviral and antitumor agents)

RN 878675-58-2 HCAPLUS

CN 5'-Cytidylic acid, 2'-deoxy-2',2'-difluoro-, (7R)-7-(hexyloxy)-1-[(6R)-6-(hexyloxy)-3-methoxy-3-oxido-2,4,8-trioxa-3-phosphatetradec-1-yl]-4-methoxy-4-oxido-3,5,9-trioxa-4-phosphapentadec-1-yl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 878675-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside-lipid conjugates as antiviral and antitumor agents)

RN 878675-57-1 HCAPLUS

CN 5'-Cytidylic acid, 2'-deoxy-N-[(1,1-dimethylethoxy)carbonyl]-2',2'-difluoro-, (7R)-7-(hexyloxy)-1-[(6R)-6-(hexyloxy)-3-methoxy-3-oxido-2,4,8-

trioxa-3-phosphatetradec-1-yl]-4-methoxy-4-oxido-3,5,9-trioxa-4-phosphapentadec-1-yl methyl ester, 3'-(1,1-dimethylethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L40 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Cytotoxic and Apoptotic Effects of Novel Heterodinucleoside Phosphates Consisting of 5-Fluorodeoxyuridine and Ara-C in Human Cancer Cell Lines

AB In search for possible alternatives in the treatment of human malignancies we investigated several new heterodinucleoside phosphates containing of 5-Fluorodeoxyuridine (5-FdUrd) and Arabinofuranosylcytosine (Ara-C). We show that all dimers tested inhibited the number of colonies of CCL228, CCL227, 5-FU resistant CCL227 and HT-29 human colon tumor cells with IC50 values ranging from 0.65 to 1 nM. Dimer # 2 inhibited the number of sensitive and Ara-C resistant H9 human lymphoma cells with IC50 values ranging from 200 to 230 nM. Since no significant difference in the cytotoxicity of the dimers could be observed between sensitive and resistant cells, these compds. might be used in the treatment of 5-FU and Ara-C resistant tumors.

AN 2004:913157 HCAPLUS <<LOGINID::20081121>>

- DN 142:148064
- TI Cytotoxic and Apoptotic Effects of Novel Heterodinucleoside Phosphates Consisting of 5-Fluorodeoxyuridine and Ara-C in Human Cancer Cell Lines
- AU Saiko, P.; Bauer, W.; Horvath, Z.; Hoechtl, T.; Grusch, M.; Illmer, C.; Madlener, S.; Krupitza, G.; Mader, R. M.; Schott, H.; Fritzer-Szekeres, M.; Szekeres, T.
- CS Clinical Institute of Med. and Chem. Laboratory Diagnostics, University of Vienna, Vienna, Austria
- SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(8 & 9), 1507-1511 CODEN: NNNAFY; ISSN: 1525-7770
- PB Marcel Dekker, Inc.
- DT Journal
- LA English
- IT 269743-30-8 830327-11-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cytotoxic and apoptotic effects of novel heterodinucleoside phosphates consisting of 5-fluorodeoxyuridine and Ara-C in human cancer)

RN 269743-30-8 HCAPLUS

CN Uridine, β -D-arabino-cytidylyloxy[2-(octadecyloxy)-1,3-propanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- RN 830327-11-2 HCAPLUS
- CN Uridine, β -D-arabino-cytidylyloxy[2-[(octadecyloxy)methyl]-1,2-ethanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-B

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI In vitro and in vivo antitumor activity of novel amphiphilic dimers

consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine AΒ Various heterodinucleoside phosphates of 5-fluorodeoxyuridine (5-FdUrd) and arabinofuranosylcytosine (Ara-C) have recently been synthesized as potent chemotherapeutic agents. 5-Fluorodeoxyuridine is being used in patients with colorectal carcinoma, whereas Ara-C is one of the most effective agents in the treatment of hematol. malignancies. We now investigated the action of three novel amphiphilic dimers with different structures in various 5-fluorouracil (5-FU) sensitive and resistant human colon tumor cell lines (CCL228, CCL227, 5-FU resistant CCL227 and HT-29) as well as in L1210 murine leukemia cells. Activity of the heterodimers was determined by clonogenic and growth inhibition assays including the induction of programmed cell death. In addition, the in vivo effects were tested in L1210 leukemia bearing mice. We show that these compds. inhibited the number of colonies of 5-FU sensitive and resistant human colon tumor cell lines with IC50 values ranging from 0.65 to 1 nM. The investigated dimers induced dose-dependent apoptosis in HT-29 colon tumor cells as well as in L1210 leukemia cells. No significant difference in the cytotoxicity of these agents could be observed between 5-FU sensitive and resistant cells, indicating that these compds. might be used in the treatment of 5-FU resistant tumors. In L1210 leukemia bearing mice the survival of tumor-bearing animals was significantly increased in comparison with untreated control animals. We therefore conclude that these new heterodinucleoside phosphates of 5-FdUrd and Ara-C might be an addnl. option for the treatment of sensitive and 5-FU resistant colon cancer and hematol. malignancies.

AN 2004:699885 HCAPLUS <<LOGINID::20081121>>

DN 142:86030

TI In vitro and in vivo antitumor activity of novel amphiphilic dimers

consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine

AU Saiko, Philipp; Horvath, Zsuzsanna; Bauer, Wolfgang; Hoechtl, Thomas; Grusch, Michael; Krupitz, Georg; Rauko, Peter; Mader, Robert M.; Jaeger, Walter; Schott, Herbert; Novotny, Ladislav; Fritzer-Szekeres, Monika; Szekeres, Thomas

- CS Clinical Institute of Med. and Chem. Laboratory Diagnostics, Medical University of Vienna, Vienna, A-1090, Austria
- SO International Journal of Oncology (2004), 25(2), 357-364 CODEN: IJONES; ISSN: 1019-6439
- PB International Journal of Oncology
- DT Journal
- LA English
- IT 819805-88-4 819805-89-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in vitro and in vivo antitumor activity of novel amphiphilic dimers consisting of 5-fluorodeoxyuridine and arabinofuranosylcytosine)

RN 819805-88-4 HCAPLUS

CN Uridine, β -D-arabino-cytidylyloxy[(2R)-2-(octadecyloxy)-1,3-propanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 819805-89-5 HCAPLUS

CN Uridine, β -D-arabino-cytidylyloxy[(2S)-2-[(octadecyloxy)methyl]-1,2-ethanediyl]oxyphosphinico-(5' \rightarrow 5')-2'-deoxy-5-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-B

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Hard tissue adhesive composition containing acid group-containing polymerizable monomer.

AB Transparent hard tissue adhesives, e.g., for enamel and dentin, with improved bonding durability in water, comprise a water-insol., acid group-containing polymerizable monomer. An adhesive composition was prepared containing

Na 10-methacryloyloxydecyl phosphate, Bis-GMA, HEMA, camphorquinone and DMAB.

AN 2000:865147 HCAPLUS <<LOGINID::20081121>>

DN 134:21517

TI Hard tissue adhesive composition containing acid group-containing polymerizable monomer.

IN Nakatsuka, Kazumitsu

PA Kuraray Co., Ltd., Japan

SO Eur. Pat. Appl., 18 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

T T TTA .	CIVI	_																
	PAT	TENT	NO.			KIN	D	DATE		A.	PP1	LICAT	ION	NO.		DP	ATE	
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ΙT	310	0411-75-7					

RL: POF (Polymer in formulation); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $\hbox{(hard tissue adhesive composition containing acid group-containing polymerizable}$

monomer)

RN 310411-75-7 HCAPLUS

CN 2-Propenoic acid, 2-methyl-, 10,15,15-trihydroxy-10,15-dioxido-12- [(phosphonooxy)methyl]-9,11,14-trioxa-10,15-diphosphapentadec-1-yl ester (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'REGISTRY' ENTERED AT 17:38:05 ON 21 NOV 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2 DICTIONARY FILE UPDATES: 20 NOV 2008 HIGHEST RN 1073589-44-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

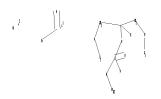
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\STNEXP\Queries\10821739pyrophosphate2.str





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chain nodes:
1 2 3 4 5 6 7 8 9 10 11 16 17 19 20 21 22 23
chain bonds:
1-2 1-3 1-5 1-19 2-6 3-4 4-7 5-16 6-17 8-9 8-10 16-20 16-22 16-23
20-21
```

exact/norm bonds :

1-5 4-7 5-16 6-17 8-9 8-10 16-20 16-22 16-23 20-21 exact bonds :

1-2 1-3 1-19 2-6 3-4

G1:[*1],[*2]

Connectivity:

10:1 X maximum RC ring/chain 11:1 X maximum RC ring/chain Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS

=> d 141 L41 HAS NO ANSWERS L41 STF

G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> s 141

SAMPLE SEARCH INITIATED 17:38:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 188 TO ITERATE

PO₃H₂

100.0% PROCESSED 188 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2938 TO 4582
PROJECTED ANSWERS: 0 TO 0

L42 0 SEA SSS SAM L41

=> s 141 sss full

FULL SEARCH INITIATED 17:38:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3994 TO ITERATE

100.0% PROCESSED 3994 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L43 0 SEA SSS FUL L41

=> log hold

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 178.36 1119.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION 0.00 -34.40

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 17:38:42 ON 21 NOV 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID: SSPTAEX01623

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 17:41:13 ON 21 NOV 2008 FILE 'REGISTRY' ENTERED AT 17:41:13 ON 21 NOV 2008 COPYRIGHT (C) 2008 American Chemical Society (ACS)

TOTAL SESSION 1110 SINCE FILE COST IN U.S. DOLLARS ENTRY

FULL ESTIMATED COST 178.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

NTRY SESSION -34.40 ENTRY CA SUBSCRIBER PRICE

Uploading C:\Program Files\STNEXP\Queries\10821739fattyphosphate.str t----

chain nodes :

2 3 4

chain bonds :

2-3 3-4

exact/norm bonds :

2-3 3-4

G1

Connectivity: 2:1 X maximum RC ring/chain Match level:

2:CLASS 3:CLASS 4:CLASS

Generic attributes :

2:

Number of Carbon Atoms : 7 or more

L44 STRUCTURE UPLOADED

=> s 144

SAMPLE SEARCH INITIATED 17:41:41 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8491 TO ITERATE

23.6% PROCESSED 2000 ITERATIONS

13 ANSWERS

PAGE 1-A

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 164296 TO 175344
PROJECTED ANSWERS: 658 TO 1548

L45 13 SEA SSS SAM L44

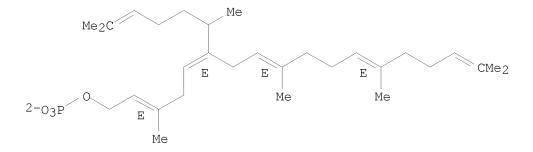
=> d 145 scan

L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2,5,8,12,16-Octadecapentaen-1-ol, 6-(1,5-dimethyl-4-hexen-1-yl)-3,9,13,17tetramethyl-, 1-(dihydrogen phosphate), ion(2-), (2E,5E,8E,12E)
MF C30 H49 O4 P

CI COM

Double bond geometry as shown.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

Double bond geometry as shown.

PAGE 1-C

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2,6-Octadien-1-ol, 3,7-dimethyl-, 1-(dihydrogen phosphate), sodium salt (1:2), (2E)MF C10 H19 O4 P . 2 Na

Double bond geometry as shown.

•2 Na

L45 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2,6,10,14,18,22,26,30,34,38,42,46,50,54,58,62,66,70-Doheptacontaoctadecaen1-ol, 3,7,11,15,19,23,27,31,35,39,43,47,51,55,59,63,67,71-octadecamethyl-,
dihydrogen phosphate, diammonium salt (9CI)
MF C90 H147 O4 P . 2 H3 N

●2 NH3

PAGE 1-E Me Me Me CH2-CH2-CH2-CH2-CH2-CH2-CH2-OPO3H2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 144 sss full FULL SEARCH INITIATED 17:42:09 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 171848 TO ITERATE

100.0% PROCESSED 171848 ITERATIONS 1484 ANSWERS SEARCH TIME: 00.00.04

L46 1484 SEA SSS FUL L44

=> file hcaplus
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL

ENTRY SESSION
CA SUBSCRIBER PRICE

0.00
-34.40

FILE 'HCAPLUS' ENTERED AT 17:42:20 ON 21 NOV 2008

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FILE COVERS 1907 - 21 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 20 Nov 2008 (20081120/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 146/thu

3738 L46 1070979 THU/RL

L47 95 L46/THU

(L46 (L) THU/RL)

=> s cholesterol or hyperlipidem? or atherosclerosis or neointim? or artery or arterial

192334 CHOLESTEROL

16996 HYPERLIPIDEM?

63834 ATHEROSCLEROSIS

3676 NEOINTIM?

151893 ARTERY

100583 ARTERIAL

L48 428791 CHOLESTEROL OR HYPERLIPIDEM? OR ATHEROSCLEROSIS OR NEOINTIM? OR ARTERY OR ARTERIAL

=> s 147 and 148

L49 7 L47 AND L48

=> d 149 1-7 ti abs bib hitstr

- L49 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Formulations of active principles incorporated in solid lipid nanoparticles suitable for transdermal administration
- AB The present invention relates to formulations suitable for transdermal administration containing solid lipid nanoparticles which contain active principles with a very short half-life and/or drugs with high activity. A microemulsion was prepared containing Epikuron-200 4.9%, stearic acid 4.56%, benzoic acid 4.2%, melatonin 3.1%, sodium taurocholate 7.1%, and water 76.2%.
- AN 2008:447484 HCAPLUS <<LOGINID::20081121>>
- DN 148:410811
- TI Formulations of active principles incorporated in solid lipid nanoparticles suitable for transdermal administration

```
Gasco, Maria Rosa
TN
PΑ
    Nanovector S.r.l., Italy
SO
     PCT Int. Appl., 13pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                       APPLICATION NO.
     PATENT NO.
                        KIND DATE
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                        A2 20080410 WO 2007-IB2971
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             BY, KG, KZ, MD, RU, TJ, TM
PRAI IT 2006-MI1918
                     A 20061006
     3539-43-3, Hexadecyl phosphate
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (formulations of active principles incorporated in solid lipid
        nanoparticles suitable for transdermal administration)
RN
     3539-43-3 HCAPLUS
     1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)
CN
{\rm H}_{2}{\rm O}_{3}{\rm PO}^{-} (CH<sub>2</sub>)<sub>15</sub>^{-}Me
    ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
L49
ΤI
     Contrast agents for myocardium perfusion diagnostic imaging
     The present invention is directed, in part, to compds. and methods for
AΒ
     diagnostic imaging, comprising administering to a patient a contrast agent
     which has an overall neg. charge.
ΑN
     2007:673645 HCAPLUS <<LOGINID::20081121>>
DN
     147:90164
ТΤ
     Contrast agents for myocardium perfusion diagnostic imaging
     Edwards, D. Scott; Casebier, David S.
ΤN
     Bristol-Myers Squibb Pharma Company, USA
PA
     PCT Int. Appl., 54pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                                          APPLICATION NO. DATE
                                DATE
     PATENT NO.
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                                _____
                                            _____
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     WO 2007070827
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     WO 2007070827
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TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA A1 20070621 US 20070140973 US 2006-610216 20061213 PRAI US 2005-750654P Ρ 20051215 MARPAT 147:90164 5116-94-9 ΙT RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (contrast agent containing; contrast agents for myocardium perfusion diagnostic imaging) RN 5116-94-9 HCAPLUS CN 1-Tridecanol, 1-(dihydrogen phosphate) (CA INDEX NAME) $\rm H_2O_3PO^-$ (CH₂)₁₂-Me

- L49 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Methods for concentration and extraction of lubricity compounds and biologically active fractions from naturally derived fats, oils and greases
- AB Methods for recovery of concs. of lubricating compds. and biol. active compds. from vegetable and animal oils, fats and greases that allow separation of triglycerides, from components with higher lubricity or biol. activity or enrichment protocols that increase the concentration of high lubricity or biol. active compds. in the triglyceride. The triglycerides are transesterified with a lower alc. to produce alkyl esters. Following the conversion process the esters are separated from high mol. weight high lubricity

compds. and biol. active compds. by distillation $% \left(1\right) =\left(1\right) +\left(1\right) +\left$

and may be sold as pollution reducing fuel components. The high b.p. compds. that are the residues of distillation, however, can either contribute significant lubricity and may be used widely in lubricant applications or added to petroleum fuels to decrease friction or the biol. active components may be used in nutritional, cosmetic and therapeutic applications. Therapeutic applications include use in human diets to lower cholesterol.

- AN 2007:611270 HCAPLUS <<LOGINID::20081121>>
- DN 147:55135
- TI Methods for concentration and extraction of lubricity compounds and biologically active fractions from naturally derived fats, oils and greases
- IN Reaney, Martin J.; Piette, Gabriel; Hertz, Phillip Barry; Westcott, Neil D.
- PA Her Majesty In Right of Canada as Represented by the Minister of Agriculture and Agri-Food Canada, Can.
- SO PCT Int. Appl., 43pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 2

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PRAI US 2005-290781
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     US 2006-600747
                                 20061117
                          Α
     WO 2006-CA1938
                          W
                                 20061130
     34457-14-2P, Dolichol phosphate
     RL: FFD (Food or feed use); MOA (Modifier or additive use); PUR
     (Purification or recovery); TEM (Technical or engineered material use);
     THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (methods for concentration and extraction of lubricity compds. and biol.
active
        fractions from naturally derived fats, oils and greases)
     34457-14-2 HCAPLUS
RN
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CN
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     1-(dihydrogen phosphate) (CA INDEX NAME)
```

PAGE 1-D

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L49 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Serum-stable amphoteric liposomes for the delivery of oligonucleotide drugs
- AB The invention concerns amphoteric liposomes for the formulation of at least one oligonucleotide drug in an aqueous medium inside the liposomes; the liposomes are composed of membranes containing: (a) 20-65 mol% of neutral lipids; (b) cholesterol 35-45 mol%; and as charge carrying lipids either (c) 5-20 mol% amphoteric lipids; or (d) 15-45 of a mixture including cationic and anionic lipids. Formulations are prepared for DNA, RNA, antisense oligonucleotides, aptamers, spiegelmers. Encapsulated oligonucleotides can be also used for transfection. A typical liposome has a molar composition of DMPC/4-(2-aminoethyl)-morpholino-cholesterolhemisuccinate/DMPS/cholesterol 40/10/10/40.
- AN 2006:446145 HCAPLUS <<LOGINID::20081121>>
- DN 144:456558
- TI Serum-stable amphoteric liposomes for the delivery of oligonucleotide drugs
- PA Novosom AG, Germany
- SO Ger. Offen., 16 pp., Addn. to Ger. Offen 102,004,016,020. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 2

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	ΕP	MR, NE, SN, P 1734928						2006	1227		EP 2	005-	7404	33		2	0050	329
	R: AT, BE, BG,			BG,	CH,													
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	JР	2007	•	•		•	•	•	•	•	•	•	•	•	•		0050.	329
PRAI		2004-102004016020														_		
			• -															

DE 2004-102004054730 A 20041105 WO 2005-DE589 20050329 3539-43-3 ΤТ RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serum-stable amphoteric liposomes for delivery of oligonucleotide RN 3539-43-3 HCAPLUS 1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME) CN ${\rm H}_{2}{\rm O}_{3}{\rm PO}^{-}$ (CH₂)₁₅-Me ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN L49 Preparation method for collagenase double emulsion ΤI AΒ The title double emulsion is composed of collagenase as active ingredient, bacteriostatic agent, and medicinal adjuvants. The preparation method comprises: (1) preparing aqueous solution with collagenase, dividing into W1 and W2, (2) preparing oil solution with phenylethanol, (3) adding lipophilic emulsifying agent into the W1 and the oil solution to obtain W1/O type emulsion, (4) emulsifying the W1/O and W2 with hydrophilic emulsifying agent to obtain the form of W1/O/W2 double emulsion, with a particle diameter less than 1 $\mu\text{m.}$ The preparation is capable of improving the skin or mucosal permeability of drug, reducing the irritation to skin, increasing the absorption and bioavailability of drug, and reducing the side toxic effects. The emulsion also has long action and

AN 2005:1298279 HCAPLUS <<LOGINID::20081121>>

DN 144:57501

TI Preparation method for collagenase double emulsion

IN Wang, Li; Han, Qinghui

facilitate wound healing.

PA Shanghai Joy Biophar. Co., Ltd., Peop. Rep. China; Huang, Weihong

sustained/controlled-release effect. With the addition of bacteriostatic agent, the preparation is capable of protecting the wound from infection to

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 10 pp. CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PRAI	CN 1579547 CN 2003-142069	A	20050216 20030805	CN 2003-142069	20030805

IT 7423-32-7, Sodium lauryl phosphate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation method for collagenase double emulsion)

RN 7423-32-7 HCAPLUS

CN Phosphoric acid, monododecyl ester, sodium salt (1:2) (CA INDEX NAME)

 ${\rm H}_{2}{\rm O}_{3}{\rm PO}-({\rm CH}_{2})_{11}-{\rm Me}$

```
L49 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
    Serum-stable amphoteric liposomes for the delivery of oligonucleotides
TΙ
AΒ
    The invention relates to amphoteric liposomal formulations which are
    provided with great serum stability and are suitable for the intracellular
    delivery of oligonucleotides. The serum-stable liposomal formulations
    with at least one active substance in their aqueous inner part are prepared
from
     (a1) neutral lipids at 10-30 mol% in the membrane; (b1)
    cholesterol at 30-50 mo% in the membrane; or (a2) amphoteric
    lipids at 5-30 mol%; (b2) mixture of anionic and cationic lipids at maximum 50
    mol%; (c) at least one oligonucleotide. The formulations are applied i.v.
    Thus liposomes were prepared; a mixture of DMPC/MoChol/DGSucc/Chol 40:10:10:40
    mol% was used to encapsulate Cy5.5-labeled CD40 anitsense
    -oligonucleotide.
    2005:1103545 HCAPLUS <<LOGINID::20081121>>
ΑN
    143:392968
DN
ΤI
    Serum-stable amphoteric liposomes for the delivery of oligonucleotides
    Endert, Gerold; Kerwitz, Yvonne; Fellermeier, Monika
IN
PA
    Novosom AG, Germany
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
    German
LA
FAN.CNT 2
    PATENT NO.
                       KIND DATE
                                          APPLICATION NO.
                                                                 DATE
                        ____
                             20051013
    WO 2005094783 A2
WO 2005094783 A3
                                          WO 2005-DE589
PΙ
                                                                  20050329
    WO 2005094783
                        A3 20060302
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
            NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
    DE 102004016020 A1
                               20051110 DE 2004-102004016020
                                                                  20040328
                               20060511 DE 2004-102004054730
    DE 102004054730
                        A1
                                                                 20041105
                              20051013 AU 2005-229485
    AU 2005229485
                        A2
                                                                  20050329
                        A1
    AU 2005229485
                               20051013
    CA 2561247
                               20051013
                                          CA 2005-2561247
                                                                  20050329
                        A1
    EP 1734928
                                         EP 2005-740433
                         Α2
                               20061227
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    JP 2007530462
                         Τ
                               20071101
                                        JP 2007-504251
                                                                  20050329
    IN 2006DN05781
                               20070831
                                           IN 2006-DN5781
                                                                  20061005
PRAI DE 2004-102004016020 A
                               20040328
    DE 2004-102004054730 A
                               20041105
    WO 2005-DE589
                               20050329
    3539-43-3
ΙT
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (serum-stable amphoteric liposomes for delivery of oligonucleotides)
RN
    3539-43-3 HCAPLUS
    1-Hexadecanol, 1-(dihydrogen phosphate) (CA INDEX NAME)
CN
```

```
ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2008 ACS on STN
L49
TI
    Compositions and methods for treating elevated blood cholesterol
AΒ
    The present invention relates to compns. and methods for treating elevated
    blood cholesterol in a mammal while counteracting the occurrence
    of potentially adverse side effects such as myopathy. The compns. useful
    herein comprise the combination of a pharmaceutically effective amount of a
    3-hydroxy-3-methylglutaryl CoA reductase inhibitor ("HMG-CoA reductase
    inhibitor") and a geranylgeraniol compound to a mammal in need thereof. A
    tablet contained simvastatin 10, geranylgeraniol 0.75, BHA 0.02, ascorbic
    acid 2.5, citric acid 1.25, microcryst. cellulose 5, pregel starch 10, Mg
    stearate 0.5, and lactose 74.73 mg.
    1999:819245 HCAPLUS <<LOGINID::20081121>>
ΑN
    132:54899
DN
    Compositions and methods for treating elevated blood cholesterol
ΤI
    Scolnick, Edward M.
ΙN
PA
    Merck & Co., Inc., USA
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 1
                       KIND DATE
                                         APPLICATION NO.
    PATENT NO.
                                                                DATE
                              19991229 WO 1999-US13887
PΙ
    WO 9966929
                        A1
                                                                 19990621
        W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD,
            GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV,
            MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR,
            TT, UA, US, UZ, VN, YU, ZA
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2335366
                        A1 19991229 CA 1999-2335366
                                                                 19990621
    AU 9946989
                               20000110
                                         AU 1999-46989
                                                                 19990621
    AU 754767
                        В2
                               20021121
    EP 1089731
                              20010411
                                         EP 1999-930451
                        Α1
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            SI, LT, LV, FI, RO
    JP 2002518448
                     T
                               20020625
                                          JP 2000-555615
                                                                 19990621
                              19980624
PRAI US 1998-90527P
                        P
    GB 1998-17167
                        Α
                              19980806
    WO 1999-US13887
                        W
                              19990621
    MARPAT 132:54899
OS
    68982-81-0
ΙT
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); THU (Therapeutic use); BIOL (Biological
    study); USES (Uses)
        (compns. containing HMG-CoA reductase inhibitor and geranylgeraniol compds.
        for treating elevated blood cholesterol)
    68982-81-0 HCAPLUS
RN
     2,6,10,14-Hexadecatetraen-1-ol, 3,7,11,15-tetramethyl-, 1-(dihydrogen
    phosphate), (2E,6E,10E) - (CA INDEX NAME)
=> file registry
COST IN U.S. DOLLARS
                                                SINCE FILE
                                                               TOTAL
                                                    ENTRY
                                                              SESSION
FULL ESTIMATED COST
                                                      0.21
                                                               0.21
```

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

 $\label{thm:local_program_files} \label{thm:local_program} \mbox{ Files} $$\operatorname{VQueries} 10821739 $$ serine phosphoric.str$





chain nodes :

1 2 3 4 5 6 7

chain bonds :

1-2 2-3 3-4 4-5 4-6 4-7

exact/norm bonds :

1-2 4-6

exact bonds :

2-3 3-4 4-5 4-7

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS

L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 12:21:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 308 TO ITERATE

100.0% PROCESSED 308 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5108 TO 7212 PROJECTED ANSWERS: 2 TO 124

2 SEA SSS SAM L1 L2

=> d 12 scan

2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

D-Serine, O-phosphono-

MF C3 H8 N O6 P

CI COM

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

REGISTRY COPYRIGHT 2008 ACS on STN L2 2 ANSWERS IN Serine, dihydrogen phosphate (ester), barium salt (1:1) (9CI) C3 H8 N O6 P . Ba

$$\begin{array}{c} \mathrm{NH_2} \\ | \\ \mathrm{HO_2C-CH-CH_2-OPO_3H_2} \end{array}$$

Ba

ALL ANSWERS HAVE BEEN SCANNED

=> log hold COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.46 0.67

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 12:21:53 ON 24 NOV 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * * * SESSION RESUMED IN FILE 'REGISTRY' AT 12:34:59 ON 24 NOV 2008 FILE 'REGISTRY' ENTERED AT 12:34:59 ON 24 NOV 2008 COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S.	DOLLA	RS SINCE FILE TOTAL ENTRY SESSION
FULL ESTIMAT	ED COS	
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E1		SERINE PHOSPHATE, L-/CN
E2	1	SERINE PHOSPHOLIPID PHOSPHOLIPASE A1/CN
E3	0>	SERINE PHOSPHORIC ACID/CN
E4	1	SERINE PROTEASE/CN
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E6	1	SERINE PROTEASE (ACINETOBACTER STRAIN ADP1)/CN
E7	1	SERINE PROTEASE (ACREMONIUM STRAIN F11177 ISOFORM AS-E1 FRAG MENT)/CN
E8	1	SERINE PROTEASE (ACREMONIUM STRAIN F11177 ISOFORM AS-E2 FRAG MENT)/CN
E9	1	SERINE PROTEASE (AEDES AEGYPTI STRAIN BLACK EYE ISOLATE AEA_ CLU32)/CN
E10	1	SERINE PROTEASE (AEROMONAS HYDROPHILA HYDROPHILA STRAIN ATCC 7966)/CN
E11	1	SERINE PROTEASE (AEROMONAS SALMONICIDA SUBSP. SALMONICIDA GE NE ASPA)/CN
E12	1	SERINE PROTEASE (AGROBACTERIUM TUMEFACIENS STRAIN C58 GENE A TU4566)/CN
=> exp serin	e phos	ph/cn
E1	1	SERINE PEPTIDASE, CLAN SP, FAMILY S59 (LEISHMANIA MAJOR STRA IN FRIEDLIN)/CN
E2	2	SERINE PHENYLTHIOHYDANTOIN/CN
E3		SERINE PHOSPH/CN
E4	2	SERINE PHOSPHATASE/CN
E5	1	SERINE PHOSPHATASE (BACILLUS LICHENIFORMIS STRAIN ATCC 14580 GENE RSBU)/CN
E6	1	SERINE PHOSPHATASE (BACILLUS LICHENIFORMIS STRAIN ATCC 14580 GENE RSBX)/CN
E7	1	SERINE PHOSPHATASE (BACILLUS LICHENIFORMIS STRAIN ATCC 14580 GENE SPOIIE)/CN
E8	1	SERINE PHOSPHATASE (BACILLUS SUBTILIS GENE SPOIIE)/CN
E9	1	SERINE PHOSPHATASE (DEPHOSPHORYLATION OF RSBS) (BACILLUS SUB TILIS GENE RSBX)/CN
E10	1	SERINE PHOSPHATASE (DEPHOSPHORYLATION OF RSBV) (BACILLUS SUB
		TILIS GENE RSBU)/CN
E11	5	SERINE PHOSPHATASE (FRANKIA STRAIN CCI3)/CN
E12	1	SERINE PHOSPHATASE (GEOBACILLUS THERMODENITRIFICANS STRAIN N G80-2)/CN
=> exp serin	e phos	phate/cn
E1	1	SERINE PHOSPHATASE, REGULATOR OF SIGMA SUBUNIT (LEPTOSPIRA B
_	_	ORGPETERSENII HARDJO-BOVIS STRAIN JB197)/CN
E2	1	SERINE PHOSPHATASE, REGULATOR OF SIGMA SUBUNIT (LEPTOSPIRA B ORGPETERSENII HARDJO-BOVIS STRAIN L550)/CN
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SERINE PHOSPHATE PHOSPHATASE/CN
E4
             1
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E.5
             1
Ε6
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E.7
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L3
=> d 13
L3
     ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN
     407-41-0 REGISTRY
ED
     Entered STN: 16 Nov 1984
     L-Serine, O-phosphono- (CA INDEX NAME)
OTHER CA INDEX NAMES:
     L-Serine, dihydrogen phosphate (ester) (9CI)
     Serine phosphate, L- (6CI)
CN
     Serine, dihydrogen phosphate (ester), L- (8CI)
CN
OTHER NAMES:
CN
    3-0-Phosphoserine
CN
    Dexfosfoserine
    Fosforina
CN
CN
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    L-O-Phosphoserine
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    L-O-Serine phosphate
CN
CN
    L-Phosphoserine
CN
    L-Serinephosphoric acid
CN
    L-Seryl phosphate
CN
     O-Phospho-L-serine
CN
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CN
     Serine dihydrogen phosphate (ester)
CN
     Serine O-phosphate
CN
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FS
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LC
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       SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL, USPATOLD
         (*File contains numerically searchable property data)
                      EINECS**, WHO
     Other Sources:
         (**Enter CHEMLIST File for up-to-date regulatory information)
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Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2187 REFERENCES IN FILE CA (1907 TO DATE)

104 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2193 REFERENCES IN FILE CAPLUS (1907 TO DATE)

78 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 8.53 8.74

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 12:35:58 ON 24 NOV 2008
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FILE COVERS 1907 - 24 Nov 2008 VOL 149 ISS 22 FILE LAST UPDATED: 23 Nov 2008 (20081123/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13/thu

2193 L3

1071330 THU/RL

L4

60 L3/THU

(L3 (L) THU/RL)

=> s 14 and (PY<2003 or AY<2003 or PRY<20030 UNMATCHED LEFT PARENTHESIS 'AND (PY<2003' The number of right parentheses in a query must be equal to the number of left parentheses.

=> s 14 and (PY<2003 or AY<2003 or PRY<2003) 22961900 PY<2003

 L_5

=> d 15 1-31 ti abs bib

- ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN L5
- Antibody-label complexes and methods for antigen or ligand immunolabeling ΤI or detection, diagnosis and therapy
- The present invention provides labeling reagents and methods for labeling AΒ primary antibodies and for detecting a target in a sample using an immuno-labeled complex that comprises a target-binding antibody and one or more labeling reagents. The labeling reagents comprise monovalent antibody fragments or non-antibody monomeric proteins whereby the labeling reagents have affinity for a specific region of the target-binding antibody and are covalently attached to a label. Typically, the labeling reagent is an anti-Fc Fab or Fab' fragment that was generated by immunizing a goat or rabbit with the Fc fragment of an antibody. The present invention provides for discrete subsets of labeling reagent and immuno-labeled complexes that facilitate the simultaneous detection of multiple targets in a sample wherein the immuno-labeled complexes are distinguished by (i) a ratio of label to labeling reagent, or (ii) a phys. property of said label, or (iii) a ratio of labeling reagent to said target-binding antibody, or (iv) by said target-binding antibody. This is particularly useful for fluorophore labels that can be attached to labeling reagents and subsequently immuno-labeled complexes in ratios for the detection of multiple targets.
- ΑN 2007:1334578 HCAPLUS <<LOGINID::20081124>>
- DN 148:9415
- ΤI Antibody-label complexes and methods for antigen or ligand immunolabeling or detection, diagnosis and therapy
- Beechem, Joseph; Hagen, David; Johnson, Iain ΙN
- PA
- U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S. Ser. No. 467,550. SO CODEN: USXXCO
- DT Patent
- LA English

FAN.	FAN.CNT 2 PATENT NO.					KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
PI	US WO	2007 2003 2003 2003	0073 0308	149 17		A2		2007 2003 2003 2003	0417 0417		US 2 US 2 WO 2	002-	1182	0 4		2		405 ·	<
		₩:	AE, CO, GM, LS, PL, UA, GH,	AG, CR, HR, LT, PT, UG, GM,	AL, CU, HU, LU, RO, US, KE,	AM, CZ, ID, LV, RU, UZ, LS,	AT, DE, IL, MA, SD, VN, MW,	AU, DK, IN, MD, SE, YU, MZ, TM,	DM, IS, MG, SG, ZA, SD,	DZ, JP, MK, SI, ZM, SL,	EC, KE, MN, SK, ZW SZ,	EE, KG, MW, SL,	ES, KP, MX, TJ,	FI, KR, MZ, TM,	GB, KZ, NO, TN,	GD, LC, NZ, TR,	GE, LK, OM, TT,	GH, LR, PH, TZ,	
PRAI	JP US US US WO	2005 2007 2001 2002 2002 2002 2004	FI, CG, 0069 1832 -329 -369 -118	FR, CI, 962 91 068P 418P 204 1416	GB, CM,	GR, GA, A1 A P P A2 W	IE, GN,	IT, GQ, 2005 2007 2001 2002 2002 2002 2004	LU, GW, 0331 0719 1012 0401 0405 1002	MC, ML,	NL, MR, US 2 JP 2 - -	PT, NE, 004-	SE, SN, 4675	SK, TD, 50	TR, TG	BF,	BJ,	CF,	

JP 2003-533851 A3 20021002 <--

- OS MARPAT 148:9415
- L5 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Method for purification of naturally phosphorylated peptide micelle and its uses
- AB An invention involving a procedure for the preparation of phosphorylated peptides starting from hydrolyzate casein to obtain a peptidic micelle with a high degree of purity and solubility. The micelle contains a high percentage of phosphoserine of at least 25%. The micelle may be used for intestinal absorption of iron, calcium, gold, lithium, magnesium, and zinc, and for the delivery of caffeine nicotinate in the treatment of impotence.
- AN 2003:995494 HCAPLUS <<LOGINID::20081124>>
- DN 140:19807
- TI Method for purification of naturally phosphorylated peptide micelle and its uses
- IN Galzigna, Lauro
- PA Medestea Internazionale S.r.l., Italy
- SO Ital., 21 pp. CODEN: ITXXBY
- DT Patent
- LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	IT 1305125	B1	20010410	IT 1998-TO891	19981021 <
	IT 98TO0891	A1	20000421		
	CA 2286971	A1	20000421	CA 1999-2286971	19991020 <
PRA:	I IT 1998-T0891	A	19981021	<	

- L5 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Human cDNAs encoding separase, methods for modulation of separase activity in sister chromatid DNA separation, and uses thereof
- AΒ The invention provides nucleic acid mols., designated separase nucleic acid mols., which encode separase, an endopeptidase that modulates sister chromatid separation The invention also provides recombinant expression vectors containing separase nucleic acid mols. and host cells into which the expression vectors have been introduced. The invention still further provides separase proteins, fusion proteins, antigenic peptides and anti-separase antibodies. The invention also provides methods for the identification of modulators of separase, methods of modulating separase, methods of modulating sister chromatid separation at metaphase, and methods for the treatment of disorders related to aberrant sister chromatid separation, such as cancer, Down's syndrome, and spontaneous fetal abortion. Sister chromatid cohesion is mediated by a multiprotein complex, cohesin. At the metaphase to anaphase transition in vertebrates, cohesin complexes in centromeric regions are removed by cleavage of the cohesin subunit SCC1 by a cysteine endopeptidase, separase. Before anaphase, separase is inhibited by association with the inhibitor securin and by CDC2/cyclinB1-mediated phosphorylation of separase. Human separase cDNA containing a putative unspliced intron was cloned and an expression vector was developed for an in vitro separase activity assay. In cell exts. with high CDC2 activity, separase was inactive even in the absence of securin and some cleavage,, possibly self-cleavage, of separase was observed Phosphopeptide mapping and site-directed mutagenesis demonstrated that inhibitory phosphorylation of separase is due to phosphorylation at serine residue 1126 and threonine residue 1346. Phosphorylation site mutants rescued sister chromatid separation and cohesin cleavage in a cell extract with high CDC2 activity.

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139:65403
DN
     Human cDNAs encoding separase, methods for modulation of separase activity
ΤI
     in sister chromatid DNA separation, and uses thereof
     Kirschner, Marc W.; Stemmann, Olaf; Zou, Hui; Gygi, Steven P.
IN
     President and Fellows of Harvard College, USA; Gerber, Scott A.
PA
SO
     PCT Int. Appl., 97 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                        KIND DATE APPLICATION NO. DATE
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                        A2 20030626
A3 20041007
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A1 20030807 US 2002-320175 20021216 <--

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PRAI US 2001-340682P
     WO 2002-US40085
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     ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L5
ΤI
     Human G protein-coupled receptor kinase gene 69087, nuclear protein gene
     15821, and protein kinase phosphatase gene 15418 and their uses
     The invention provides isolated nucleic acids mols. for gene 69087, which
AΒ
     encodes a G protein coupled receptor kinase, gene 15821, which encodes a
     nuclear signaling protein, and gene 15418, which encodes a
     mitogen-activated protein kinase phosphatase. The invention also provides
     antisense nucleic acid mols., recombinant expression vectors containing genes
     69087, 15821, or 15418, host cells into which the expression vectors have
     been introduced, and non-human transgenic animals in which a gene 69087,
     15821, or 15418 has been introduced or disrupted. The invention still
     further provides isolated proteins encoded by genes 69087, 15821, and
     15418, fusion proteins, antigenic peptides and antibodies. Diagnostic
     methods utilizing compns. of the invention are also provided. Methods for
     modulating activity, expression, and cellular responses to these genes are
     claimed. In addition, the invention claims use of these genes in drug
     screening and therapy.
     2002:674778 HCAPLUS <<LOGINID::20081124>>
ΑN
DN
     137:212032
     Human G protein-coupled receptor kinase gene 69087, nuclear protein gene
ΤI
     15821, and protein kinase phosphatase gene 15418 and their uses
     Kapeller-Libermann, Rosana; Bandaru, Rajasekhar
IN
     Millennium Pharmaceuticals, Inc., USA
PA
SO
     U.S. Pat. Appl. Publ., 98 pp.
     CODEN: USXXCO
DT
     Patent
    English
LA
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    US 20020123464 A1 20020905
US 6984502 B2 20060110
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                                                                    20011022 <--
PΙ
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WO 2002095032
                         A2
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     US 2000-242428P
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                                 20001023 <--
     WO 2001-US51623
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                                 20011022 <--
RE.CNT 38
              THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
     ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ΤI
     Vaccines comprising hydrophobic liquid carrier, liposome, antigen and
     adjuvant
AB
     The present invention is concerned with vaccines and their preparation An
     effective long-term immune response, especially in mammals, can be produced
     using a vaccine comprising an antigen encapsulated in liposomes, a
     suitable adjuvant and a carrier comprising a continuous phase of a
     hydrophobic substance. The vaccine is particularly effective in eliciting
     the production of antibodies that recognize epitopes of native proteins.
     antigen is viral, bacterial, protozoal or mammalian antigen such as zona
     pellucida, alc. dehydrogenase, hepatitis B or streptokinase; the liposome
     comprises unesterified cholesterol and a phospholipid selected from
     phosphoglycerol, phosphoethanolamine, phosphoserine, phosphocholine and
     phosphoinositol; the hydrophobic liquid carrier is an oil (mineral oil,
     vegetable oil or nut oil) or water-in-oil emulsion; and the adjuvant is
     alum or aluminum compound or TiterMax. A long-term immunocontraceptive for
     mammal comprising zona pellucida is disclosed.
ΑN
     2002:368338 HCAPLUS <<LOGINID::20081124>>
DN
     136:368452
ΤI
     Vaccines comprising hydrophobic liquid carrier, liposome, antigen and
     adjuvant
     Brown, Robert George; Pohajdak, William; Kimmins, Warwick Charles
ΤN
PA
     Immunovaccine Technologies Inc., Can.
     PCT Int. Appl., 66 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                                                              DATE
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                         KIND
                                 DATE
                                            APPLICATION NO.
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                         A1 20020516
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A1 20020516 CA 2001-2428103

20011031 <--

CA 2428103

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B2 20040921
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P 20001127
    US 20020110568
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    US 6793923
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PRAI US 2000-246075P
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    WO 2001-CA1530
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- RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Composition and method for the repair and regeneration of cartilage and other tissues based on a polymer gel
- AB The present invention relates to a new method for repairing human or animal tissues such as cartilage, meniscus, ligament, tendon, bone, skin, cornea, periodontal tissues, abscesses, resected tumors, and ulcers. The method comprises the step of introducing into the tissue a temperature-dependent

polymer gel composition such that the composition adhere to the tissue and promote

support for cell proliferation for repairing the tissue. Other than a polymer, the composition preferably comprises a blood component such as whole blood, processed blood, venous blood, arterial blood, blood from bone, blood from bone-marrow, bone marrow, umbilical cord blood, placenta blood, erythrocytes, leukocytes, monocytes, platelets, fibrinogen, thrombin and platelet rich plasma. The present invention also relates to a new composition to be used with the method of the present invention. For example, chondral defects with perforations to the subchrondal bone of rabbits were treated with a peripheral blood/chitosan-glyceryl phosphate mixture that was delivered as a liquid, and allowed to solidify in situ. After 5-8 wk healing, the blood/chitosan-treated defects were filled with repair tissue having the appearance of hyaline, a glycosaminoglycan (GAG)-rich cartilage repair tissue, which adhered to the defect surfaces, and filled the defects. Repair tissue from the untreated defects (control) had the appearance of fibro-cartilage, with particularly no metachromatic staining for GAG, and only partial defect filling.

AN 2002:10323 HCAPLUS <<LOGINID::20081124>>

DN 136:74708

TI Composition and method for the repair and regeneration of cartilage and other tissues based on a polymer gel

IN Hoemann, Caroline D.; Buschmann, Michael D.; Mckee, Marc D.

PA Biosyntech Canada Inc., Can.

SO PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	WO 2002000272	A .3	20020808		

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            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
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             UZ, VN, YU, ZA, ZW
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     US 7148209
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     US 20070037737
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PRAI US 2000-214717P
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- L5 ANSWER 7 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI The antianxiety-like effects of antagonists of group I and agonists of group II and III metabotropic glutamate receptors after intrahippocampal administration
- AΒ Rationale: Substances acting as agonists of group II mGlu receptors with joint group I mGlu receptor antagonist effects, or group II mGlu receptors agonists, were shown to induce antianxiety-like effect in rats after intrahippocampal administration. Objective: The present study was undertaken to establish whether a more selective group I, II, III mGlu receptors agonists/antagonists induce anxiolytic-like effects after injection to the hippocampus. Methods: (S)-4-Carboxyphenylglycine [(S)-4CPG] and 7-(hydroxyimino)cyclopropan[b]chromen- 1α -carboxylic Et ester (CPCCOEt), selective antagonists at group I mGlu receptors, or (+)1S, 2S, 5R, 6S-2-aminobicyclo[3.1.0]hexane-2,6-dicarboxylic acid (LY354740) and (2S, 1'S, 2'S)-2-(carboxycyclopropyl)glycine (L-CCG-I), two selective agonists of group II mGlu receptors, as well as (1S, 2S, 4S, 5S)-2-aminobicyclo[2.1.1]hexane-2,5-dicarboxylic acid-I (ABHxD-I), an agonist at all three groups of mGlu receptors and L-serine-O-phosphate (L-SOP), an agonist at group III mGlu receptors, were used. All compds. were administered into the CA1 region of the dorsal hippocampus. The conflict drinking Vogel test in rats was used to estimate the anxiolytic-like effects of all the compds. Results: After intrahippocampal administration, both selective group I mGlu receptors antagonists (S)-4CPG and CPCCOEt, as well as the selective agonists of group II mGlu receptors LY 354740 and L-CCG-I, and an agonist of group III mGlu receptors, L-SOP, induced anticonflict effects. Conclusion: Selective antagonists of group I mGlu receptors and agonists of group II and group III mGlu receptors

- exhibit anxiolytic-like activity in the conflict drinking test. It seems that the hippocampus may be one of the brain structures involved in the anticonflict effect of mGlu receptor agonists/antagonists.
- AN 2001:884587 HCAPLUS <<LOGINID::20081124>>
- DN 136:177852
- TI The antianxiety-like effects of antagonists of group I and agonists of group II and III metabotropic glutamate receptors after intrahippocampal administration
- AU Tatarczynska, Ewa; Klodzinska, Aleksandra; Kroczka, Bernadetta; Chojnacka-Wojcik, Ewa; Pilc, Andrzej
- CS Institute of Pharmacology, Polish Academy of Sciences, Smetna, 12, Pol.
- SO Psychopharmacology (Berlin, Germany) (2001), 158(1), 94-99 CODEN: PSCHDL; ISSN: 0033-3158
- PB Springer-Verlag
- DT Journal
- LA English
- RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- ${\sf TI}$ Methods for the detection of modified peptides, proteins and other molecules
- AB A method is described for the mol. anal. of complex samples, including biopsies from cancer and other multifactorial diseases. The method uses arrays of proteins and enzymes substrates, including peptides, antibodies, non peptide substrates and phospho-protein and acetyl-protein traps. In an embodiment, tagged substrates are mass reacted in solution with the sample under investigation and then sorted onto a solid surface array by means of the relative tags. In another embodiment the substrates are immobilized onto a solid surface prior to sample anal.
- AN 2001:763492 HCAPLUS <<LOGINID::20081124>>
- DN 135:315574
- ${
 m TI}$ Methods for the detection of modified peptides, proteins and other molecules
- IN Volinia, Stefano
- PA Italy
- SO U.S. Pat. Appl. Publ., 36 pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

	0111 =				
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ΡI	US 20010031469	A1	20011018	US 2001-753114	20010102 <
PRAT	US 2000-174171P	P	20000103	<	

- L5 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- ${\tt TI}$ Quantitative amino acid analysis using a Beckman system gold HPLC 126AA analyzer
- AB Background: The Beckman 6300/7300 analyzer, which was widely used for amino acid (AA) anal., is no longer com. available. Methods: To set up an affordable AA anal. program, a Beckman system gold HPLC 126AA analyzer and Pickering Labs. reagents were used. Two quant. AA anal. programs were developed. One was an 18-min short program quantitating seven AAs from plasma and dried blood spots (DBS) specimens using Lithium eluents Li-365 and Li-375 at 70° column temperature. The short program could be used for diagnosis and follow-up dietary management for phenylketonuria (PKU), maple syrup urine disease (MSUD), tyrosinemia and homocystinuria patients. The second program was a 118-min long AA screening panel quantitating 40 AAs using Lithium eluents Li-275, Li-365 and Li-375 at 32, 48 and 72° column temps. from plasma and urine specimens. Results: The

values obtained from DBS specimens were in good agreement with certified results from the Centers for Disease Control and Prevention. The values obtained from plasma and urine samples were in good correlation with those obtained from Beckman 6300 analyzer $(0.9076 \le r \le 0.999)$.

Conclusions: Amino acid quantitation from physiol. samples using a Beckman 126AA Analyzer and Pickering Labs. reagents was useful for clin. diagnosis and monitoring of aminoacidopathies.

- AN 2001:721220 HCAPLUS <<LOGINID::20081124>>
- DN 136:2398
- TI Quantitative amino acid analysis using a Beckman system gold HPLC 126AA analyzer
- AU Qu, Y.; Slocum, R. H.; Fu, J.; Rasmussen, W. E.; Rector, H. D.; Miller, J. B.; Coldwell, J. G.
- CS H.A. Chapman Institute of Medical Genetics, Tulsa, OK, 74135, USA
- SO Clinica Chimica Acta (2001), 312(1-2), 153-162 CODEN: CCATAR; ISSN: 0009-8981
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Elevated levels of group-III metabotropic glutamate receptors in the inferior colliculus of genetically epilepsy-prone rats following intracollicular administration of L-serine-O-phosphate
- The selective group-III metabotropic glutamate receptor agonist, AB L-serine-O-phosphate (L-SOP), when injected bilaterally into the inferior colliculus of the sound sensitive genetically epilepsy-prone (GEP) rats produces a short proconvulsant excitation followed by a long phase of protection against sound-induced seizures lasting for 2-4 days. We have studied this prolonged suppression of audiogenic seizures using pharmacol. and mol. biol. approaches including semiquant. RT-PCR and western blotting. The intracerebroventricular injection of the protein synthesis inhibitor cycloheximide (120 μ g) 30 min beforehand significantly reduces the proconvulsant seizure activity and the prolonged anticonvulsant effect of intracollicular L-SOP (500 nmol/side). The sensitive semiquant. RT-PCR revealed a significant up-regulation in mGlu4 and mGlu7 mRNA levels in the inferior colliculus at 2 days (maximum suppression of audiogenic seizures) after intracollicular L-SOP injection compared with the non-injected, 2-day post-vehicle treated and 7-day (return to expressing audiogenic seizures) post-drug or vehicle-treated groups. No significant changes were observed in mGlu6 or mGlu8 mRNA expression levels in drug-treated compared with control groups. Examination of mGlu4a and mGlu7a protein levels using western blotting showed a significant increase in mGlu7a but no significant change in mGlu4a protein levels 2 days after L-SOP treatment compared with the control groups (non-injected and 2-day vehicle-injected group). These results suggest that up-regulation of mGlu7 receptors is involved in the prolonged anticonvulsant effect of L-SOP against sound-induced seizures in GEP rats. The potential use of mGlu7 agonists as novel anti-epileptic agents merits investigation.
- AN 2001:508586 HCAPLUS <<LOGINID::20081124>>
- DN 135:298653
- TI Elevated levels of group-III metabotropic glutamate receptors in the inferior colliculus of genetically epilepsy-prone rats following intracollicular administration of L-serine-O-phosphate
- AU Yip, Ping K.; Meldrum, Brian S.; Rattray, Marcus
- CS Department of Neurology, Institute of Psychiatry, King's College London, London, SE1 1UL, UK
- SO Journal of Neurochemistry (2001), 78(1), 13-23

CODEN: JONRA9; ISSN: 0022-3042 Blackwell Science Ltd. PB Journal DТ English LA THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 50 ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN L5ΤI In situ crosslinking of proteins for wound sealant AΒ This invention relates to materials and methods for in situ crosslinking of proteins, including collagen, with peroxidase, including horseradish peroxidase, and H2O2 to form biocompatible semi-solid gels useful in a number of biol. and food product applications. The mixture applied to the wound sealing further comprises at least one addnl. agent selected from the group consisting of proteins, vaccine antigens, adjuvants, growth factors, microbeads and drugs, such as antimicrobials. The protein addnl. agent is selected from the group consisting of bovine serum albumin, fibrinogen, fibronectin, fibroblast growth factor, and human placental hyaluronic acid. A method of forming a semisolid crosslinked polymer on the surface of meat or poultry tissues for use as a food binding/restructuring agent comprises the steps of crosslinking a protein with a peroxidase in the presence of peroxide. Also, a method for growing dermal fibroblasts in vitro comprises the steps of growing the fibroblasts in a peroxide crosslinked collagen polymer. 2001:380339 HCAPLUS <<LOGINID::20081124>> ΑN 134:371845 DN In situ crosslinking of proteins for wound sealant ΤI Miller, Douglas R.; Tizard, Ian R.; Keeton, Jimmy T.; Prochaska, Jerry F. ΙN PAThe Texas A & M University System, USA SO PCT Int. Appl., 61 pp. CODEN: PIXXD2 DTPatent English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _____ ----

 WO 2001035882
 A1
 20010525
 WO 2000-US31450

 WO 2001035882
 A9
 20020815

 20001115 <--PΙ W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 20030102 EP 2000-979179 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 6509031 B1 20030121 US 2000-713270 20001115 <--US 1999-165567F US 1999-166024P P P PRAI US 1999-165567P 19991115 <--19991117 <--20001115 <--THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN ΤI Compounds for inhibiting diseases and preparing cells for transplantation

Methods and compns. are provided for inhibiting, preventing and treating amyloid depositions, e.g. in pancreatic islets, wherein the amyloidotic deposits are islet amyloid polypeptide (IAPP)-associated amyloid deposition

or deposits. Accordingly, the compns. and method of the invention are useful for inhibiting IAPP-associated amyloidosis in disorders in which such amyloid deposition occurs, such an diabetes. The invention also provides a process for the preparation of cells suitable for transplantation into a mammal, which cells are capable of forming fibrils, said process comprising contacting the cells with an inhibitor of fibril formation. Also provided are a culture medium comprising the inhibitor and cells for transplantation. One example compound prepared was 4-phenyl-1-(3-sulfopropyl)-1,2,3,6-tetrahydropyridine and its sodium salt.

AN 2001:50467 HCAPLUS <<LOGINID::20081124>>

DN 134:95503

- TI Compounds for inhibiting diseases and preparing cells for transplantation
- PA Isis Innovation Limited, UK; Neurochem, Inc.
- SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L WIN .	PATENT NO					KIN:		DATE			APPL:	ICAT	ION I	NO.		D2	ATE	
PI		2001 2001				A2					WO 2	000-	GB26	23		2	0000	707 <
			CR, HU, LU, SD, YU, GH, DE,	CU, ID, LV, SE, ZA, GM, DK,	CZ, IL, MA, SG, ZW KE, ES,	DE, IN, MD, SI, LS, FI,	DK, IS, MG, SK, MW, FR,	DM, JP, MK, SL, MZ, GB,	AZ, DZ, KE, MN, TJ, SD, GR,	EE, KG, MW, TM, SL, IE,	ES, KP, MX, TR,	FI, KR, MZ, TT,	GB, KZ, NO, TZ, UG, MC,	GD, LC, NZ, UA, ZW, NL,	GE, LK, PL, UG, AT, PT,	GH, LR, PT, US,	GM, LS, RO, UZ,	HR, LT, RU, VN,
		CF, CG, CI, CA 2375628 EP 1237547			·	A1	•	2001	0118	·	CA 2	000-	2375	628				
			AT,	BE,	CH,	DE,	DK,	ES,	FR, MK,	GB,	GR,							
PRAI	GB US GB US WO US	1999 1999 1999 1999 2000 2002	0015 -162 -142 -163 -142 -GB2	737 14 907P 15 953P 623 50	,	A1 A P A P W	ŕ	2007 1999 1999 1999 1999 2000		<- <- <- <-	US 2	005-	2655.	37		21	0051	102 <
OS	MAI	RPAT	134:	9550	3													

- L5 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Compositions and methods for treating amyloidosis
- AB Therapeutic compds. and methods for modulating amyloid aggregation in a subject, whatever its clin. setting, are described. Amyloid aggregation is modulated by the administration to a subject of an effective amount of a therapeutic compound [(R1Zk)(R2Qm)N]pTYs [R1, R2 = H, (un)substituted alkyl, (un)substituted aryl; Z, Q = C(O), C(S), SO2, SO; k, m = 0, 1, with provisions; p, s = pos. integer such that biodistribution of therapeutic compound for intended target site is not prevented while maintaining activity of therapeutic compound; T = linking group; Y = AX; A = anionic group at physiol. pH; X = cationic group], or a pharmaceutically acceptable salt or ester, such that modulation of amyloid aggregation occurs. Preparation of e.g. 8-methoxy-5-quinolinesulfonic acid sodium salt is described.

AN 2000:772432 HCAPLUS <<LOGINID::20081124>>

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133:329624
DN
ТΤ
          Compositions and methods for treating amyloidosis
ΙN
          Gordon, Heather; Szarek, Walter; Weaver, Donald; Kong, Xianqi
          Queen's University at Kingston, Can.; Neurochem, Inc.
PA
SO
          PCT Int. Appl., 68 pp.
          CODEN: PIXXD2
DT
          Patent
          English
FAN.CNT 2
                                                KIND DATE
                                                                                      APPLICATION NO.
          PATENT NO.
                                                ____
                                                                                       _____
          WO 2000064420
                                                A2 20001102
                                                                                      WO 2000-CA494
                                                                                                                                     20000428 <--
PΤ
                                                  A3 20021107
          WO 2000064420
                  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
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                           LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
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                           CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                   A1 20001102 CA 2000-2369997
A 20020604 BR 2000-10099
A2 20030122 EP 2000-922395
          CA 2369997
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          BR 2000010099
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                                                           20030122
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                  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                                                                                                                       20030811 <--
PRAI US 1999-131464P P 19990428 <--
US 1999-135545P P 19990709 <--
US 1999-143123P P 19990709 <--
US 2000-560505 B1 20000427 <--
AU 2000-42824 A3 20000428 <--
WO 2000-CA494
                                                                                                                                       20050603 <--
                                                                                                                                       20070914 <--
                                                                                                                                       20080522 <--
                                                 A1 20000523 <--
          US 2000-576677
                                                  A3 20011029 <--
          KR 2001-713824
          US 2003-429198
                                                                20030502
                                                   А3
          MARPAT 133:329624
OS
          ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L5
          Phosphocholine surfactants and their use
ΤI
          Disclosed are detergents or surfactants based on amphipathic
AΒ
          phosphocholine compds. to improve pharmaceutical formulations and their
          use as pharmaceutical excipients.
ΑN
          2000:553420 HCAPLUS <<LOGINID::20081124>>
          133:155464
DN
ΤI
          Phosphocholine surfactants and their use
          Morimoto, Bruce H.; Barker, Peter L.; Hernandez, Vincent; Piper, Cass K.
ΙN
PA
          Amur Pharmaceuticals, Inc., USA
SO
          PCT Int. Appl., 25 pp.
          CODEN: PIXXD2
DT
          Patent
          English
LA
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FAN.CNT 1
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                                         APPLICATION NO.
    WO 2000045822 A1 20000810 WO 2000-US2395 20000128 <--
PI
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            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                        A1 20011107 EP 2000-913304
    EP 1150685
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                       DE 20021029 JI B1 20021203 US P 19990203 <-- W 20000100
    JP 2002536335 T
                                          JP 2000-596941
                                                                 20000128 <--
                                        US 2000-493359
    US 6489369
                                                                 20000128 <--
PRAI US 1999-118499P
    WO 2000-US2395
    MARPAT 133:155464
RE.CNT 5
             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L5
    Associates of macromolecules and complex aggregates for improved payload
ΤI
    and controlled drug delivery
AΒ
    This invention describes the principles and procedures suitable for
    developing, testing, manufacturing, and using combinations of various
    amphipathic, if necessary modified, macromols. (such as polypeptides,
    proteins, etc.) or other chain mols. (such as suitable, e.g. partly
    hydrophobic, polynucleotides or polysaccharides) with the aggregates which
    comprise a mixture of polar and/or charged amphipathic mols. and form
    extended surfaces that can be freely suspended or supported. The methods
    can be utilized for the optimization of aggregates that, after association
    with chain mols. exerting some activity or a useful function, are suitable
    for the application in vitro or in vivo, e.g., in the fields of drug
    delivery, diagnostics or biocatalysis. As special examples, mixts. of
    vesicular droplets consisting of lipids loaded (associated) with insulin,
    interferon, interleukin, nerve growth factor, calcitonin, and an Ig, etc.,
    are described. Thus, ultradeformable and flexible vesicles
    (Transfersomes) were prepared from soybean phosphatidylcholine 874.4 and
    sodium cholate 125.6 mg, and pH 7.1\ 9 mL phosphate buffer. To this
    suspension (5% total lipid content) was added 0.1, 0.5, 1, 2, 3, or 4
    mg/insulin/100 mg total lipid.
    2000:290817 HCAPLUS <<LOGINID::20081124>>
ΑN
    132:326059
DN
    Associates of macromolecules and complex aggregates for improved payload
ΤI
    and controlled drug delivery
IN
    Cevc, Gregor
PA
    Idea Innovative Dermale Applikationen Gmbh, Germany
SO
    PCT Int. Appl., 88 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 1
    PATENT NO.
                       KIND DATE APPLICATION NO. DATE
    WO 2000024377 A1 20000504 WO 1998-EP6750 19981023 <--
PΙ
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
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LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN \,
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            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2309633
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                                           CA 1998-2309633
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    AU 765385
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           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    BR 9814415
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    HU 2001002741
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                               20020328
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    HU 2001002741
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                               20021228
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PRAI WO 1998-EP6750
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    US 2000-555986
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RE.CNT 4
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L5
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- ΤI Methods and compositions to treat glycosaminoglycan-associated molecular interactions
- AΒ Therapeutic compds. and methods for inhibiting a glycosaminoglycan (GAG)-associated mol. interaction in a subject, whatever its clin. setting, are described. The glycosaminoglycan-associated mol. interaction may be e.g. the interaction associated with a bacterial or viral infection. The compds. of the invention include Q(Y-X+)n (Q = carrier mol.; Y- = anionic group at physiol. pH; X+ = cationic group; n = integer such that the biodistribution of the therapeutic compound for an intended target site is not prevented while maintaining activity of the therapeutic compound) and pharmaceutically acceptable salts and esters thereof.
- ΑN 2000:98288 HCAPLUS <<LOGINID::20081124>>
- DN 132:132322
- TΙ Methods and compositions to treat glycosaminoglycan-associated molecular interactions
- ΙN Kisilevsky, Robert; Green, Allan M.; Gervais, Francine
- PANeurochem, Inc., Can.; Queen's University at Kingston
- PCT Int. Appl., 108 pp. SO
 - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PAT	ENT I	NO.			KIN	D	DATE			APPL	ICAT	ION I	. O		Dž	ATE	
PI		2000 2000				A2 A3		2000 2000		1	WO 1	999-	IB14	73		19	9990'	728 <
			DE, JP, MN, TM,	DK, KE, MW, TR,	EE, KG, MX, TT,	ES, KP, NO, UA,	FI, KR, NZ, UG,	AZ, GB, KZ, PL, UZ,	GD, LC, PT, VN,	GE, LK, RO, YU,	GH, LR, RU, ZA,	GM, LS, SD, ZW	HR, LT, SE,	HU, LU, SG,	ID, LV, SI,	IL, MD, SK,	IN, MG, SL,	IS, MK, TJ,
		KW:	ES,	FI,	FR,	GB,	GR,	SD, IE, ML,	IT,	LU,	MC,	NL,	PT,					

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US 6310073 B1 20011030 US 1999-362505 CA 2338705 A1 20000210 CA 1999-2338705
                                                                           19990727 <--
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T
                           A 20000221 AU 1999-51894
A2 20010523 EP 1999-936931
     AU 9951894
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                            A1 20051228 EP 2005-21203
     EP 1609467
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                        A1
     US 20020193395
                                  20021219 US 2001-970148
                                                                           20011002 <--
    US 20040096453
AU 2004202703
A1 20040715
AU 2004-202703
US 20060116347
A1 20060601
US 2005-147150
US 20070078082
A1 20070405
US 2006-523811
US 1998-94454P
P 19980728 <--
US 1999-362505
A 19990727 <--
AU 1999-51894
A3 19990728 <--
EP 1999-936931
A3 19990728 <--
WO 1999-IB1473
W 19990728 <--
US 2001-970148
A1 20011002 <--
US 2003-690020
B1 20031020
B1 20050606
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                                                                          20040618 <--
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US 20070078082
PRAI US 1998-94454P
                                                                          20060919 <--
     MARPAT 132:132322
OS
     ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L_5
     Biocompatible composite material
ΤI
     Biocompatible composites useful as a bone or tooth substitute material or
AB
     for coating implants of metal, ceramic, Si, or plastics comprise an inorg.
     gel containing homogeneously embedded scleroproteins or their hydrolysis
     products and/or glycosaminoglycans. These composites promote the
     deposition of basic Ca phosphate phases and are hard, strong, and wear
     resistant. Thus, Si(OEt)4 10, 1,4-dioxane 40, and 0.01M HCl 20 mL were
     stirred for 20 h at room temperature to form a stable SiO2 soluble This sol 7
was
     mixed with H2O 7, 10% aqueous ZrO2 sol 2.3 mL, and 1% collagen type I solution
10
     g to provide a clear sol which was used for dip coating a Ti test piece.
     After drying, the coating had a Vickers hardness of 44. On immersion in
     simulated blood, the coated Ti induced deposition of basic Ca phosphate
     within 12 h.
ΑN
     1999:624672 HCAPLUS <<LOGINID::20081124>>
DN
   131:233590
TΙ
   Biocompatible composite material
IN Brasack, Ingo; Boettcher, Horst; Kallies, Karl-Heinz
PA
    Feinchemie G.m.b.H. Sebnitz, Germany; Kallies Feinchemie AG
SO
     Ger. Offen., 6 pp.
     CODEN: GWXXBX
DT
     Patent
T.A
     German
FAN.CNT 1
     PATENT NO.
                          KIND
                                   DATE APPLICATION NO. DATE
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     DE 19811900
DE 19811900
                                                DE 1998-19811900
                           A1
                                   19990923
                                                                          19980318 <--
PRAI DE 1998-19811900 C2
                                   20031211
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               THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
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- L5 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Sphingolipid derivatives, their preparation, and their therapeutic use
- AB Derivs. of sphingolipids (Markush included) are provided. The compds. are

useful in the treatment of abnormal cell proliferation, including benign and malignant tumors, the promotion of cell differentiation, the induction of apoptosis, the inhibition of protein kinase C, and the treatment of inflammatory conditions, psoriasis, inflammatory bowel disease as well as proliferation of smooth muscle cells in the course of development of plaques in vascular tissue. The invention also includes a method for triggering the release of cytochrome c from mitochondria that includes administering an effective amount of a sphingolipid or its derivative or prodrug

to a host in need thereof. Further, the invention provides a method for treating bacterial infections, including those that influence colon cancer and other disorders of the intestine, that includes administering an effective amount of one of the active compds. identified herein.

AN 1999:529160 HCAPLUS <<LOGINID::20081124>>

DN 131:165335

TI Sphingolipid derivatives, their preparation, and their therapeutic use

IN Liotta, Dennis C.; Merrill, Alfred H., Jr.; Keane, Thomas E.; Schmelz, Eva
M.; Bhalla, Kapil N.

PA Emory University, USA

SO PCT Int. Appl., 140 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KIN	D	DATE			APPI	LICAT	ION	NO.		D.	ATE			
ΡI	WO	9941	 266			A1	_	1999	0819		 WO 1	 -999-	 US30	 93		1	9990	212	<
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			LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	
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	ΑU	1999	-276	44		А3		1999	0212	<-	_								
	US	1999	-249	211		A1		1999	0212	<-	_								
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OS	MAI	RPAT	131:	1653.	35														

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Liquid compositions for disinfection of contact lenses based on Polyquaternium compounds
- AB A liquid composition for cleaning, storing and disinfecting contact lenses contains (1) at least one disinfecting component selected from the group of Polyquaternium-6, Polyquaternium-7, Polyquaternium-16, and Polyquaternium-22, (2) a nonionic tonicity agent and/or (3) an amino acid. An aqueous solution containing phosphate buffer, Polyquaternium-6 (0.001%), and glycerol (1.7%) was prepared and showed a high degree of safety without inhibiting the proliferation of the cells.
- AN 1999:401532 HCAPLUS <<LOGINID::20081124>>
- DN 131:35917

- TI Liquid compositions for disinfection of contact lenses based on Polyquaternium compounds
- IN Ibaraki, Keiko; Mizuno, Hideto; Goshima, Takehiko; Shimbo, Keiko
- PA Tomey Corp., Japan
- SO Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

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		PA:	ΓΕΝΤ	NO.			KIN	D	DATE		AP	PLI	CATI	ON 1	. O		DZ	ATE		
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Ρ	Ί	ΕP	9239	50			A2		1999	0623	EP	19	98-3	104	17		19	9981	218	<
		ΕP	9239	50			АЗ		2000	1227										
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				ΙE,	SI,	LT,	LV,	FΙ,	RO											
		JP	1124	9087			А		1999	0917	JP	19	98-3	101	75		19	9981	030	<
Ρ	RAI	JΡ	1997	-349	273		A		1997	1218	<									
		JΡ	1998	-310	175		Α		1998	1030	<									

- L5 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Biomimetic calcium phosphate implant coatings and methods for making the same
- AB This invention encompasses porous, nanocryst., biomimetic Ca phosphate coatings of the order of 2-30 µm that can be grown on metal implants. The chemical surface treatments and methods for making the Ca phosphate coatings are disclosed. Post treatment with dilute hydrogels such as poly(hydroxyethyl methacrylate), reinforces the inorg. structure and enhances the mech. strength of the coatings. Methods are also disclosed for adsorbing or covalently attaching growth factor proteins to the hydrogel-coated Ca phosphate coatings. Such hydrogel-reinforced Ca phosphate coatings show equivalent bone tissue growth as the currently used implants and are easily resorbed. This property in combination with the immobilized growth factors is expected to enhance the process of osteointegration of the disclosed coatings.
- AN 1999:184098 HCAPLUS <<LOGINID::20081124>>
- DN 130:227783
- ${\tt TI}$ Biomimetic calcium phosphate implant coatings and methods for making the same
- IN Sarangapani, Shantha; Calvert, Paul D.
- PA Icet, Inc., USA
- SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

11111	-				
PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO	9911202	A1	19990311	WO 1998-US18526	19980904 <
	W: CA, JP				
	· · · · ·	CY, DE,	DK, ES, FI,	, FR, GB, GR, IE, IT, 1	LU, MC, NL,
	PT, SE				
US	6129928	A	20001010	US 1998-148724	19980904 <
PRAI US	1997-58105P	P	19970905 <-		
RE.CNT	8 THERE ARE 8	3 CITED	REFERENCES A	AVAILABLE FOR THIS REC	ORD

- RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Oral drug delivery compositions comprising modified amino acids and bioactive peptides
- AB The present invention relates to an oral drug delivery system, and in particular to modified amino acid derivs. for use as a delivery system for

sensitive agents such as bioactive peptides. The modified amino acid derivs. can form noncovalent mixts. with active biol. agents and in an alternate embodiment can carry and release active agents. These mixts. are suitable for oral administration of biol. active agents to mammals. Methods for the preparation of such amino acids are also disclosed.

AN 1998:542693 HCAPLUS <<LOGINID::20081124>>

DN 129:180125

OREF 129:36501a,36504a

- TI Oral drug delivery compositions comprising modified amino acids and bioactive peptides
- IN Sarubbi, Donald J.; Leone-Bay, Andrea; Paton, Duncan R.
- PA Emisphere Technologies, Inc., USA
- SO U.S., 18 pp. CODEN: USXXAM
- DT Patent
- LA English

LA		glish																
FAN.		TENT NO.			KINI		DATE			APP]	LICAT	ION	NO.		D.	ATE		
ΡI	US	5792451			А		1998	0811		US 3	1994-	2055	11		1	9940	302	<
	CA	2160693			A1		1994				1994-				1	9940	422	<
	WO	9423767			A1		1994				1994-					9940		
							CA,											
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							SK,											
		RW: AT,														PT,	SE,	
	пD		BJ,	CF,		CI,	CM,							TD,		0040	400	_
		696208 696208			A1 B1		19960			EP.	1994-	.9163	/8		Τ	9940	422	<
	CF		BE	СН		DK	, ES,		CB	GP	TE	тт	тт	TIT	мС	MT	DТ	SE
	.TP	08509474	DE,	C11,	DЕ, Т	DIV,	, <u>6</u> 3, 1996:		GD,		, 15, 1994-					9940		
		1025840			A2		20000				2000-					9940		
		1025840			A3		2000					1000	_ ,		_	J J 10		
		1025840			В1		20050											
		R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	IE
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		2163444			Т3		20020				1994-					9940		
		298561			T		2005				2000-					9940		
		2244367			T3		2005				2000-					9940		
		5643957 5714167			A A		1997				1994- 1994-					9941 9941	-	
		5958457			A		19990				1994- 1995-					9950		
		5766633			A		1998				1995-					9951		
		6099856			A		20000				1996-					9961		
		5955503			A		19990				1997-					9970		
		6100298			A		20000				1997-					9970		
	US	6221367			В1		2001	0424		US 3	1997–	9399	39		1	9970	929	<
	US	6071538			Α		2000	0606		US 3	1997–	9400	56		1	9970	930	<
	US	6245359			В1		2001	0612			1997-				1	9970	930	<
	US	6348207			В1		20020)219		US 3	1997-	9416	09		1	9970	930	<
		200100030	01		A1		2001				2000-					0001		
		771024			В2		20040				2000-					0001		
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		200200015	91		A1		20020			US 2	2001-	·8620	13		2	0010	52I	<
		6610329 200200524	22		B2 A1		20030			TTC '	2001	0620	63		2	0010	501	
		200200524 6461643	: 4 4		B2		20020			UD 4	2001-	·002U	v S		2	OOTU	JZI	<
		200201200	na		Б2 A1		2002			IIC ′	2002-	.9001	2		2	0020	221	<
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PRAI US 1992-898909
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    US 1992-920346
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    US 1994-205511
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    EP 1994-916578
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    US 1994-231622
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RE.CNT 341 THERE ARE 341 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- ΤI Inhibiting undesirable taste in oral compositions
- The present invention relates to a method for inhibiting an undesirable AB taste in oral compns. such as foods, beverages, and pharmaceuticals. The present invention also relates to oral and pharmaceutical compns. comprising undesirable tasting compds. wherein undesirable tastes are inhibited by the addition of a phosphorylated amino acid, such as phosphotyrosine, phosphoserine, phosphothreonine, and mixts. thereof, to the oral and pharmaceutical compns. Liquid cough/cold compns. for oral administration contained ibuprofen arginate 1, chlorpheniramine maleate 0.02, pseudoephedrine·HCl 0.3, phosphotyrosine 2, ethanol (95%) 25, propylene glycol 25, Na citrate 2, citric acid 0.25, liquid sugar 25, glycerin 7, colorants 0.009, flavors 0.5, and water to 100 % weight/volume 1998:124044 HCAPLUS <<LOGINID::20081124>> MA

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128:196683
DM
OREF 128:38793a,38796a
ΤI
     Inhibiting undesirable taste in oral compositions
IN
     Nelson, Sandra Lynn
PΑ
     Procter & Gamble Company, USA
     PCT Int. Appl., 24 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                     KIND DATE APPLICATION NO. DATE
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     WO 9806436
PΙ
                         A2 19980219 WO 1997-US13472
                                                                    19970728 <--
                         A3 20001221
     WO 9806436
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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             LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
             VN, YU, ZW
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
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                                 20000914
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     BR 9711159
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                                             BR 1997-11159
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     EP 1077726
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                                20030312
                         В1
     EP 1077726
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     CN 1306440
                 A 20010801 CN 1997-197342 19970728 <--
                          T
    JP 2001527518
HU 2001003016
A2 20011228
HU 2001003016
A3 20020628
AT 234115
T 20030315
AT 1997-937072
ZA 9707082
A 19980304
ZA 1997-7082
IN 1997DE02286
A 20050311
IN 1997-DE2286
NO 9900685
A 19990412
NO 1999-685
KR 2000030006
A 20000525
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US 1996-696711
A 19960814
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H 19970728
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     JP 2001527518
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                                                                      19970728 <--
                                                                     19970808 <--
                                                                   19970813 <--
                                                                     19990212 <--
PRAI US 1996-696711
                                            KR 1999-701291
                                                                     19990218 <--
L_5
     ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
     Synthetic phosphopeptides for treating bone diseases
ΤI
     Phosphopeptides which significantly reduce bone loss or weakening are
AΒ
     provided. A method for treating or preventing any conditions associated with
     bone loss or weakening by administering the phosphopeptides by oral or
     injectable means is also provided. After age 35, bone mass, mineral
     content and mech. strength of the bone begin declining gradually. The
     relationship between bone mass and age is shown. Examples of prevention
     of bone loss in an osteoporosis model are given for peptides such as
     Pse-Gly-Pse-Gly-Pse-Gly (Pse = O-phosphoserine).
     1998:55543 HCAPLUS <<LOGINID::20081124>>
ΑN
     128:110877
OREF 128:21617a,21620a
ΤI
     Synthetic phosphopeptides for treating bone diseases
ΙN
     Kumagai, Yoshinari; Otaka, Akira
PA
     Big Bear Bio, Inc., USA
SO
     PCT Int. Appl., 45 pp.
     CODEN: PIXXD2
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DT Patent
LA English
FAN.CNT 2
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ΡI	WO	9800156	-	A1	19980108	WO 1997-US11426	19970630 <
		W: AM, AU,	BA,	BG, B	R, CA, CN,	CZ, EE, FI, GE, HU,	IL, IS, JP, KG,
		KR, LK,	LT,	LV, M	O, MK, MN,	MX, NO, NZ, PL, SG,	SI, SK, TR, UA,
					Z, RU, TJ,		
						FR, GB, GR, IE, IT,	
	US	5837674		A	19981117	US 1996-675031	19960703 <
	CA	2258661				CA 1997-2258661	19970630 <
	CA	2258661		С	20020910		
	_			A		AU 1997-35871	19970630 <
	ΑU	727675		В2	20001221		
	EP	938326		A1	19990901	EP 1997-932409	19970630 <
	EP	938326		В1	20040915		
					K, ES, FR,	GB, GR, IT, LI, NL,	SE, PT, IE, FI
	JΡ	2001503452		T	20010313	JP 1998-504399	19970630 <
	ΑT	275961 938326		T	20041015	AT 1997-932409	19970630 <
	PT	938326		T	20041130	PT 1997-932409	19970630 <
	ES	2224260		Т3	20050301	ES 1997-932409	19970630 <
	JΡ	2004067687		A	20040304	JP 2003-274414	20030715 <
PRAI	US	1996-675031		A	19960703	<	
	JΡ	1998-504399		A3	19970630	<	
	WO	1997-US11426		W	19970630	<	
RE.C	TK	2 THERE	ARE	2 CITE	REFERENC	ES AVAILABLE FOR THIS	RECORD

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Prolonged anticonvulsant action of glutamate metabotropic receptor agonists in inferior colliculus of genetically epilepsy-prone rats

AΒ The anticonvulsant activity of (S)-4-carboxy-3-hydroxyphenylglycine ((S)-4C3HPG) (an antagonist of Group I and an agonist of Group II metabotropic glutamate (mGlu) receptors), of (1S,3S)-1-aminocyclopentane-1,3-dicarboxylic acid ((1S,3S)-ACPD) (an agonist of Group II mGlu receptors), and of L-serine-O-phosphate (an agonist of Group III mGlu receptors) was studied against sound-induced seizures in genetically epilepsy-prone (GEP) rats following bilateral microinjection into the inferior colliculus. All 3 drugs produce dose-dependent suppression of all phases of sound-induced seizures (wild running, clonic and tonic). (S)-4C3HPG produces an immediate and short-lasting (<2 h) protection against sound-induced seizures with an ED50 value of 4.3 (3.2-5.7) nmol, at 5 min. The preferential agonists of Group II and Group III mGlu receptors produce an immediate, transient (<10 min) proconvulsant effect followed by a prolonged (>1 day) anticonvulsant effect against sound-induced seizures. The anticonvulsant ED50 value for (1S,3S)-ACPD is 9 (5-18) nmol at 2 h, and for L-serine-O-phosphate is 36 (6.5-199) nmol at 2 days. It is concluded that mGlu receptor activation potently modifies seizure threshold.

AN 1997:331452 HCAPLUS <<LOGINID::20081124>>

DN 127:44805

OREF 127:8387a,8390a

TI Prolonged anticonvulsant action of glutamate metabotropic receptor agonists in inferior colliculus of genetically epilepsy-prone rats

AU Tang, Ellen; Yip, Ping K.; Chapman, Astrid G.; Jane, David E.; Meldrum, Brian S.

CS Department of Clinical Neurosciences, Institute of Psychiatry, De Crespigny Park, Denmark Hill, London, SE58AF, UK

SO European Journal of Pharmacology (1997), 327(2/3), 109-115 CODEN: EJPHAZ; ISSN: 0014-2999 PB Elsevier

DT Journal

LA English

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Effect of sterical stabilization on macrophage uptake in vitro and on thickness of the fixed aqueous layer of liposomes made from alkylphosphocholines
- A serious problem using liposomes for therapeutic purposes is the fast AΒ removal from blood circulation by components of the reticuloendothelial system (RES) most likely after opsonization of the vesicles. This study was performed to quantify the reduction in macrophage uptake in vitro of sterically stabilized liposomes (PEG-liposomes) prepared from hexadecylphosphocholine, cholesterol and poly(ethylene glycol2000) distearoylphosphoethanolamine (PEG2000DSPE) for the first time. The uptake was determined using HPC-liposomes of different defined size (125, 250 and 1000 nm) without and with sterical stabilization by incorporating 5 mol of PEG2000DSPE. HPTS was used as fluorescence marker allowing the discrimination between general uptake and the part of liposomes internalized into the low pH-compartment (Daleke, L.D., Hong, K. and Papahadjopoulos, D. (1990) Biochim. Biophys. Acta 1024, 352-366). Liposomal uptake by J774 mouse macrophage-like cells was time-dependent. Both the uptake and internalization were clearly reduced for PEG-liposomes compared to plain liposomes. Sterical stabilization reduced the general uptake of liposomes in vitro by more than 50 and the internalization by about 50-60. PEG-liposomes addnl. showed a delay in internalization into the macrophages during the first 6 h. Size of used liposomes had only a minor influence on liposomal uptake but highest concentration of lipid was

found

for large multilamellar vesicles (MLV). The fixed aqueous layer thickness (FALT) was determined by zeta potential measurements of plain and sterically stabilized HPC-liposomes (100 nm) in solns. of different ion concns. The calcn. of the thickness was based on the linear correlation between ln ζ (zeta-potential) and .vkappa. (Debye Heuckel-Parameter). FALT was calculated and found to be for plain HPC-liposomes 0.83±0.17 nm and for PEG-HPC-liposomes 3.57±0.17 nm. Exchange of the HPC by an alkylphospholipid with different head group has no or only minor effect (PEG-OPP-liposomes 3.44±0.31 nm). Thus the reduced uptake of HPC-LUVET correlates with an increased thickness of the fixed aqueous layer around these liposomes and could support the hypothesis that the thickness is an important property responsible for preventing opsonization and resulting finally in a reduced macrophage uptake.

AN 1996:692603 HCAPLUS <<LOGINID::20081124>>

DN 126:50880

OREF 126:9941a,9944a

- TI Effect of sterical stabilization on macrophage uptake in vitro and on thickness of the fixed aqueous layer of liposomes made from alkylphosphocholines
- AU Zeisig, Reiner; Shimada, Kazuhiko; Hirota, Sadao; Arndt, Dieter
- CS AG Phospholipids, Max-Delbrueck Center for Molecular Medicine, Robert-Roessle-Str. 10, Berlin, 13122, Germany
- SO Biochimica et Biophysica Acta, Biomembranes (1996), 1285(2), 237-245
 CODEN: BBBMBS; ISSN: 0005-2736

CODEN. BEEFIED, ISSN. 0

- PB Elsevier B.V.
- DT Journal
- LA English
- L5 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

- Activation of group III metabotropic glutamate receptors is ΤI neuroprotective in cortical cultures
- (RS)- α -Methyl-4-phosphonophenylglycine (MPPG) and AΒ $(S)-\alpha$ -methyl-3-carboxyphenylalanine (M3CPA), two novel preferential antagonists of group III metabotropic glutamate (mGlu) receptors, antagonized the neuroprotective activity of L-2-amino-4-phosphonobutanoate (L-AP4) or L-serine-O-phosphate in mice cultured cortical cells exposed to a toxic pulse of N-methyl-D-aspartate. In contrast, MPPG did not influence the neuroprotective activity of the selective group II mGlu receptors agonist, (2S,1'R,2'R,3'R)-2-(2,3-dicarboxycyclopropyl) glycine (DCG-IV). These results indicate that activation of group III mGlu receptors exerts neuroprotective activity against excitotoxic neuronal death. At least one of the two major group III mGlu receptor subtypes, i.e. mGlu4 receptor, is expressed by cultured cortical neurons, as shown by immunocytochem. anal. with specific polyclonal antibodies.
- 1996:526080 HCAPLUS <<LOGINID::20081124>> ΑN
- 125:213111 DN
- OREF 125:39643a,39646a
- Activation of group III metabotropic glutamate receptors is neuroprotective in cortical cultures
- ΑU Bruno, V.; Copani, A.; Bonanno, L.; Knoepfel, T.; Kuhn, R.; Roberts, P. J.; Nicoletti, F.
- Instituto Mediterraneo di Neuroscienze Neuromed', Pozzilli, Italy CS
- SO European Journal of Pharmacology (1996), 310(1), 61-66 CODEN: EJPHAZ; ISSN: 0014-2999
- PΒ Elsevier
- DT Journal
- English LA
- ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN L5
- ΤI Diketopiperazine-based drug delivery systems
- AΒ Compns. useful in the delivery of active agents are provided. These delivery compns. include: (a) an active agent; and either (b)(1) a carrier of (i) at least one amino acid and (ii) at least one diketopiperazine or (b)(2) at least one mono-N-substituted, di-N-substituted, or unsubstituted diketopiperazine. Methods for preparing and administering the compns. are also provided. Thus, 6 fasted rats were anesthetized. The rats were administered, by oral gavage, a calcitonin/L-Phe-(diketo-L-Asp)-L-Phe composition containing $1.5~\mu g$ of calcitonin/mL. Each rat was administered a dosage of 10 μ g/kg. The amount of diketopiperazine in the dosage was 300 mg/kg. Blood samples were collected serially from the caudal artery, and serum calcium was determined The carriers of the present invention facilitated the reduction of serum calcitonin and, therefore, the oral delivery of calcitonin.
- 1996:401663 HCAPLUS <<LOGINID::20081124>> ΑN
- 125:67698 DN
- OREF 125:12779a,12782a
- Diketopiperazine-based drug delivery systems ΤI
- Milstein, Sam J. IN
- Emisphere Technologies, Inc., USA PA
- SO PCT Int. Appl., 51 pp. CODEN: PIXXD2
- DTPatent
- English LA
- FAN.CNT 30

	PATENT NO.				KIN)	DATE		-	APPL	ICAT:	I NOI	. O <i>v</i>		D	ATE		
							_											
ΡI	WO	9609	813			A1		1996	0404	,	WO 1	995-t	JS12	888		19	9509	928 <
		W:	AM,	ΑT,	ΑU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	ES,	FI,
			GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LK,	LR,	LT,	LU,	LV,	MD,
			MG,	MK,	MN,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,

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TM, TT
        RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
            LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
            SN, TD, TG
    US 5693338
                              19971202 US 1994-315200
                                                               19940929 <--
                       А
    AU 9641293
                       A
                             19960419 AU 1996-41293
                                                              19950928 <--
                      A
                             19991102 US 1997-841101
    US 5976569
                                                              19970429 <--
    AU 771024
                      B2 20040311 AU 2000-72261
                                                              20001214 <--
    AU 771434
                      B2 20040325 AU 2000-72260
                                                              20001214 <--
                     A1 20040923 AU
A 19940929 <--
W 19950928 <--
A3 19980206 <--
    AU 2004202745
                                        AU 2004-202745
                                                              20040623 <--
PRAI US 1994-315200
    WO 1995-US12888
    AU 1998-62756
                       A3 20001214 <--
    AU 2000-72260
    ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
L5
    Antitumor liposomes containing phospholipid analogs and ether lipids
TΙ
AΒ
    Tumor-inhibiting liposomes contain an O-alkylphosphocholine,
    O-alkylphosphoserine, or O-alkylphosphoethanolamine or an ether lipid
    ROCH2CHXCH2OR1 [R = C12-22 alkyl, alkenyl, or alkynyl; X = halo, MeO; R1 =
    (modified) phosphocholine] together with an ethoxylated lipid, e.g.
    phosphatidylethanolamine, and cholesterol. Thus, unilamellar vesicles
    containing hexadecylphosphocholine and N-ethoxylated
    1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine (mol. weight .apprx.2700)
    inhibited growth of human MaTu breast carcinoma implanted into nude mice.
    1995:958375 HCAPLUS <<LOGINID::20081124>>
AN
    123:329997
DN
OREF 123:58925a,58928a
TI Antitumor liposomes containing phospholipid analogs and ether lipids
    Arndt, Dieter; Zeisig, Reiner; Fichtner, Iduna
TN
PA
    Max-Delbrueck-Centrum fuer Molekulare Medizin, Germany
SO
    Ger., 5 pp.
    CODEN: GWXXAW
DT
    Patent
LA
    German
FAN.CNT 1
    PATENT NO.
                 KIND DATE
                                        APPLICATION NO.
                                                             DATE
                      ----
                                        ______
                                                            19940310 <--
   DE 4408011
                      C1 19951102 DE 1994-4408011
PRAI DE 1994-4408011
                             19940310 <--
L5
    ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ΤI
    Mycobacterium-derived organic phosphate compounds as activators of
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- $T\gamma\delta$ lymphocytes
- Non-peptide water-soluble organic phosphate-containing compds. for use as a AB human

 $T\tau9\delta2$ cell activator, comprising at least one acid-labile ester bond of phosphoric acid can be extracted from cultures of Mycobacterium tuberculosis or M. fortuitum fortuitum. The activating properties of said compds. in relation to lymphocytes are lost when they are placed in the presence of an enzymic mixture comprising at least one phosphoric monoester phosphohydrolase and at least one phosphoric diester phosphohydrolase. The invention also concerns a method for the preparation, isolation or characterization of such a compound and compns. and pharmaceutical uses thereof. Organic phosphates of the invention may be able to stimulate immune responses to infections, including tuberculosis and malaria, tumors, leukemia, parasitic infestations, and immunodeficiency diseases including AIDS.

AN 1995:835675 HCAPLUS <<LOGINID::20081124>>

DN 123:226030

OREF 123:40367a,40370a

- TI Mycobacterium-derived organic phosphate compounds as activators of Ty δ lymphocytes
- IN Bonneville, Marc; Constant, Patricia Marie-Claude; Fournie, Jean-Jacaues; Puzo, Germain
- PA Centre National de la Recherche Scientifique, Fr.; Institut National de la Sante et de la Recherche Medicale (INSERM)
- SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9520673	A1	19950803	WO 1995-FR92	19950126 <
	W: JP, US		1330000	1996 1131	13300110
	RW: AT, BE, CH,	DE, DK	, ES, FR, G	B, GR, IE, IT, LU, MC,	NL, PT, SE
	FR 2715660	A1	19950804	FR 1994-1170	19940128 <
PRAI	FR 1994-1170	A	19940128	<	

- L5 ANSWER 30 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Modification of implant surface with bioactive conjugates for improved integration into tissue
- AB A bioactive conjugate adapted to coat a metal implant outer surface has the structure RXP (R = O or S, adapted to be covalently attached to an implant surface; X = bond, linear or branched chain of 1-30 covalently attached C, N, O, Si, and/or S atoms, ring of ≤20 C, N, O, Si, and/or S atoms, or a combination thereof; P = bioactive mol. which promotes tissue growth, stabilization, and integration, wherein said moiety retains its biol. activity). Thus, a Ti implant was mech. polished, ultrasonically cleaned, electrochem. polished with HClO4-BuOH-MeOH (1:12:7), and immersed in a 10-3-10-4M hexane solution of 16-aminohexadecanethiol under N2. The thiol formed a self-assembling monolayer on the metal surface, which was the condensed with glutaraldehyde in 0.1M phosphate buffer under N2, followed by conjugation with alkaline phosphatase.
- AN 1995:412957 HCAPLUS <<LOGINID::20081124>>
- DN 122:170291
- OREF 122:31119a,31122a
- TI Modification of implant surface with bioactive conjugates for improved integration into tissue
- IN Nanci, Antonio; McKee, Marc D.; Sacher, Edward; Savadogo, Oumarou; Wuest, James
- PA Universite de Montreal, Can.
- SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

- DT Patent
- LA English

FAN.CNT 2

	PATENT NO.					D DATE	APPLICATION NO.	DATE
PI				A1	 19941124 JP, KR, NO,	WO 1994-CA257	19940509 <	
							GB, GR, IE, IT, LU,	MC, NL, PT, SE
	CA	2162114			A1	19941124	CA 1994-2162114	19940509 <
	ΑU	9466434			A	19941212	AU 1994-66434	19940509 <
	AU	690113			В2	19980423		
	EP	697896			A1	19960228	EP 1994-915005	19940509 <
	EP	697896			В1	19990113		
		R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC, NL, PT, SE
	BR	9406647			A	19960312	BR 1994-6647	19940509 <
	JP	08511696	•		Τ	19961210	JP 1994-524763	19940509 <

	JΡ	3548175	B2	20040728			
	ΑT	175581	T	19990115	AT	1994-915005	19940509 <
	ES	2131684	T3	19990801	ES	1994-915005	19940509 <
	US	5824651	A	19981020	US	1996-672243	19960628 <
	JP	2004154586	A	20040603	JP	2003-432701	20031226 <
PRAI	US	1993-58753	A	19930510	<		
	US	1994-226345	A	19940412	<		
	JΡ	1994-524763	A3	19940509	<		
	WO	1994-CA257	W	19940509	<		
	US	1994-322998	B1	19941014	<		

- L5 ANSWER 31 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
- TI Drug preparations of reduced toxicity
- AB The toxicity of drugs, especially antibiotics and antitumor agents, is greatly reduced while retaining their pharmacol. activity by binding to endogenous cellular substrates (ligands) which are generally lipids. High doses of the drug may be administered with less toxic side effects. E.g., egg lysophosphatidylcholine, phosphorylcholine and inositol hexaphosphate were effective in decreasing the toxicity of streptomycin, administered s.c. to mice. The ligands formed complexes with the antibiotics thus preventing binding of the drug to its putative toxicity receptor. The prepns. do not contain liposomes so disadvantages of liposome administration are not encountered.
- AN 1985:411476 HCAPLUS <<LOGINID::20081124>>
- DN 103:11476
- OREF 103:1897a,1900a
- TI Drug preparations of reduced toxicity
- IN Janoff, Andrew Stuart; Popescu, Mircea Constantine; Alving, Carl R.; Lenk, Robert Parker; Tremblay, Paul Alain; Fountain, Michael W.; Ostro, Marc Jeffery; Weiner, Alan Lee
- PA Liposome Co., Inc., USA
- SO S. African, 55 pp.
 - CODEN: SFXXAB
- DT Patent
- LA English
- FAN.CNT 2

	01.1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	ZA 8403778	A	19841224	ZA 1984-3778	19840518 <
	CA 1237670	A1	19880607	CA 1984-454193	19840511 <
	US 4897384	A	19900130	US 1986-844248	19860324 <
	US 5059591	A	19911022	US 1989-405623	19890912 <
	US 5059591	В1	20000425		
PRAI	US 1983-498268	A	19830526	<	
	US 1984-604503	A	19840502	<	
	US 1986-844248	A1	19860324	<	